

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number: 115643

TO: Hong Liu

Location: REM-5C11

Art Unit: 1624

Friday, March 05, 2004

Case Serial Number: 09/669298

From: Barb O'Bryen

Location: Biotech-Chem Library

Remsen E01A69

Phone: 571-272-2518

barbara.obryen@uspto.gov

Search Notes			Control of the Contro	
	• •			
			,	·





STIC SEARCH RESULTS FEEDBACK FORM

Biotech-Chem Library

Questions about the scope or the results of the search? Contact the searcher or contact:

Mary Hale, Information Branch Supervisor Remsen Bldg. 01 D86 571-272-2507

Voluntary Results Feedbard (1-011)
> I am an examiner in Workgroup: Example: 1610
> Relevant prior art found, search results used as follows:
☐ 102 rejection
☐ 103 rejection
☐ Cited as being of interest.
Helped examiner better understand the invention.
Helped examiner better understand the state of the art in their technology.
Types of relevant prior art found:
Foreign Patent(s)
 Non-Patent Literature (journal articles, conference proceedings, new product announcements etc.)
> Relevant prior art not found:
Results verified the lack of relevant prior art (helped determine patentability).
Results were not useful in determining patentability or understanding the invention.
Comments:

Drop off or send completed forms to STIC-Biotech-Chem Library Remsen Bldg



=> fil reg; d stat que 16; fil capl; d que nos 17; fil uspatf; d que nos 18 FILE 'REGISTRY' ENTERED AT 12:33:07 ON 05 MAR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

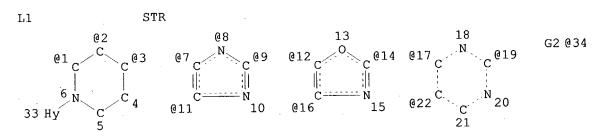
3 MAR 2004 HIGHEST RN 658036-92-1 STRUCTURE FILE UPDATES: 3 MAR 2004 HIGHEST RN 658036-92-1 DICTIONARY FILE UPDATES:

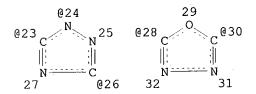
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html



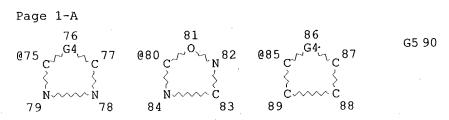


Juli file starch done on this structure

VAR G2=7/8/9/11/12/14/16/19/17/22/24/23/26/28/30 VPA 34-1/2/3 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM MLEVEL IS CLASS AT 33 GGCAT IS UNS AT 33 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE L2 584 SEA FILE=REGISTRY SSS FUL L1 L3 STR



subset search
done looking for
this structure or
structure on next page
(R, more narrowly
def. ned)

Page 2-A
VAR G1=N/C
VAR G2=7/8/9/11/12/14/16/19/17/22/24/23/26/28/30
VAR G3=O/S
VAR G4=O/N/S
VAR G5=36/44/52/56/62/71/75/80/85
VPA 34-1/2/3 U
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 7 NUMBER OF NODES IS 89

STEREO ATTRIBUTES: NONE L4 STR

Page 2-A VAR G1=35/45/53/59/68/77 VAR G2=7/8/9/11/12/14/16/19/17/22/24/23/26/28/30 VPA 34-1/2/3 U NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 7

NUMBER OF NODES IS 84

STEREO ATTRIBUTES: NONE

390 SEA FILE=REGISTRY SUB=L2 SSS FUL (L3 OR L4)

100.0% PROCESSED 584 ITERATIONS

390 ANSWERS

SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 12:33:07 ON 05 MAR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

Liu 09/669298 Page 4

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 5 Mar 2004 VOL 140 ISS 11 FILE LAST UPDATED: 4 Mar 2004 (20040304/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

```
L1 STR
L2 584 SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 STR
L6 390 SEA FILE=REGISTRY SUB=L2 SSS FUL (L3 OR L4)
L7 26 SEA FILE=CAPLUS ABB=ON L6
```

FILE 'USPATFULL' ENTERED AT 12:33:07 ON 05 MAR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 4 Mar 2004 (20040304/PD)
FILE LAST UPDATED: 4 Mar 2004 (20040304/ED)
HIGHEST GRANTED PATENT NUMBER: US6701528
HIGHEST APPLICATION PUBLICATION NUMBER: US2004045070
CA INDEXING IS CURRENT THROUGH 4 Mar 2004 (20040304/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 4 Mar 2004 (20040304/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Dec 2003
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Dec 2003

```
<<<
     USPAT2 is now available. USPATFULL contains full text of the
>>>
    original, i.e., the earliest published granted patents or
                                                                        <<<
>>>
     applications. USPAT2 contains full text of the latest US
                                                                        <<<
>>>
     publications, starting in 2001, for the inventions covered in
>>>
                                                                        <<<
    USPATFULL. A USPATFULL record contains not only the original
                                                                        <<<
>>>
                                                                        <<<
    published document but also a list of any subsequent
>>>
    publications. The publication number, patent kind code, and
                                                                        <<<
>>>
                                                                        <<<
    publication date for all the US publications for an invention
>>>
                                                                        <<<
    are displayed in the PI (Patent Information) field of USPATFULL
>>>
>>> records and may be searched in standard search fields, e.g., /PN, <<<
>>>
    /PK, etc.
                                                                        <<<
    USPATFULL and USPAT2 can be accessed and searched together
                                                                        <<<
>>>
    through the new cluster USPATALL. Type FILE USPATALL to
                                                                        <<<
>>>
                                                                        <<<
>>>
    enter this cluster.
                                                                        <<<
>>>
                                                                        <<<
>>> Use USPATALL when searching terms such as patent assignees,
                                                                        <<<
    classifications, or claims, that may potentially change from
>>>
                                                                        <<<
    the earliest to the latest publication.
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
L1 STR
L2 584 SEA FILE=REGISTRY SSS FUL L1
L3 STR
L4 STR
L6 390 SEA FILE=REGISTRY SUB=L2 SSS FUL (L3 OR L4)
L8 13 SEA FILE=USPATFULL ABB=ON L6
```

=> dup rem 17,18

FILE 'CAPLUS' ENTERED AT 12:33:13 ON 05 MAR 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPATFULL' ENTERED AT 12:33:13 ON 05 MAR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
PROCESSING COMPLETED FOR L7
PROCESSING COMPLETED FOR L8
L10 38 DUP REM L7 L8 (1 DUPLICATE REMOVED)
ANSWERS '1-26' FROM FILE CAPLUS

d ibib ed abs hitstr 1-38; fil cao; d que nos 19; fil hom

L10 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1

ANSWERS '27-38' FROM FILE USPATFULL

ACCESSION NUMBER:

2002:444492 CAPLUS

DOCUMENT NUMBER:

137:20295

TITLE:

Preparation of benz[cd]indol-2-imines and -amines as

inhibitors of farnesyl protein transferase.

INVENTOR(S):

Ayral-Kaloustian, Semiramis; Kitchen, Douglas Bruce;

Shavnya, Andrei

PATENT ASSIGNEE(S):

American Cyanamid Company, USA

SOURCE:

U.S., 13 pp. CODEN: USXXAM

DOCUMENT TYPE:

CODEN: USXXA
Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6403581	В1	20020611	US 2001-765911	20010119
PRIORITY APPLN. INFO	. •		US 2000-266306P P	20000119
INIONIII IIII BIII IIII			US 2000-487517 A	20000119

OTHER SOURCE(S):

MARPAT 137:20295

ED GI

ED is a new field in some STN files, that which provides the date that some portion of the record became available / searchable

R¹N Q R³

Entered STN:

 R^2

Ι

13 Jun 2002

Title compds. [I; dotted lines = optional double bonds; R1 = H, alkyl, Ph, AΒ Ph2CH, pyridinylalkyl, cyanoalkyl, diethylaminoalkyl, etc.; R2 = alkoxy, CF3, halo; R3 = H, (substituted) heterocyclyl; R4 = H, halo, alkyl; R5 = H, alkyl; Q = (substituted) (CH2)m interrupted by CH:CH, C.tplbond.C, O, S, SO, SO2, NH, etc.; m = 1-12], were prepd. Thus, N-[3-(1H-imidazol-1yl)propyl]-6-iodobenz[cd]indol-2-amine (prepn. given) was stirred with NaH in DMF at 0.degree. followed by addn. of KI and 4-chlorobenzyl chloride and warming to 20.degree. to give N-[1-(4-chlorobenzyl)-6iodobenzo[cd]indol-2-(1H)-ylidene]-3-(1H-imidazol-1-yl)-1-propanamine. I inhibited FPTase with IC50 = 0.10-6.70 .mu.M using H-Ras as farnesylation

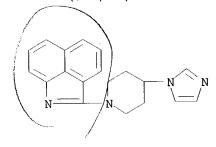
substrate. 434956-47-5P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of benz[cd]indol-2-imines and -amines as inhibitors of farnesyl protein transferase)

434956-47-5 CAPLUS

RN Benz[cd]indole, 2-[4-(1H-imidazol-1-yl)-1-piperidinyl]-, monohydriodide CN (CA INDEX NAME)



HI.

REFERENCE COUNT:

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2004:2865 CAPLUS

DOCUMENT NUMBER:

140:77167

TITLE:

Preparation and new use of pyrimidine- or

triazine-2-carbonitriles for treating diseases

associated with cysteine protease activity Bailey, Andrew; Pairaudeau, Garry; Patel, Anil; Thom,

INVENTOR(S): Stephen

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed. PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	o.	DATE				
WO 2004	0008	19	A	1	2003	1231		WO 2003-SE1078					20030623				
W:													ΒZ,			CN,	
	co.	CR.	CU.	CZ.	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
	LS,	LT,	LU,	LV,	MΑ,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NI,	NO,	ΝZ,	OM,	
	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	
													AM,				

KZ, MD, RU, TJ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,

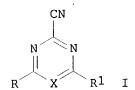
GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: SE 2002-1976 A 20020624

OTHER SOURCE(S): MARPAT 140:77167

ED Entered STN: 02 Jan 2004

GΙ



The title compds. [I; X = N, CA (wherein A = H, halo, CHR2R3, OR2, NR2R3, SR2; R2, R3 = H, alkyl, cycloalkyl, etc.); R, R1 = Y(CH2)pR9 (p = 0-3; Y = O, NR10; R10 = H, alkyl, cycloalkyl; R9 = H, alkyl which optionally contain one or more O, S or NH, etc.), NR10(CHR10)CONR2R3, NR10(CH2)qCONR2R3 (q = 1-3), etc.], useful for treating diseases assocd. with cysteine protease activity (no data), were prepd. and formulated. E.g., a 3-step synthesis of 4-[(4-chlorophenyl)amino]-6-(dimethylamino)-1,3,5-triazine-2-carbonitrile (starting from 4-chloroaniline and trichlorotriazine), was given. The compds. I are reversible inhibitors of cysteine proteases S, K, F, L and B. Of particular interest are diseases assocd. with Cathepsin S.

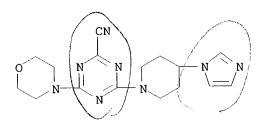
IT 639854-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and new use of pyrimidine- or triazine-2-carbonitriles for treating diseases assocd. with cysteine protease activity)

RN 639854-50-5 CAPLUS

1,3,5-Triazine-2-carbonitrile, 4-[4-(1H-imidazol-1-yl)-1-piperidinyl]-6-(4-morpholinyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

LIO ANSWER 3 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

4

ACCESSION NUMBER:

2003:591178 CAPLUS

DOCUMENT NUMBER:

139:149653

TITLE:

CN

Preparation of quinoxaline derivatives as

poly(ADP-ribose) polymerase (PARP) inhibitors for

treatment of rheumatoid arthritis

INVENTOR(S):

Takayama, Kazuhisa; Masuda, Naoyuki; Hondo, Takeshi; Hirabayashi, Ryoji; Seki, Norio; Koga, Yuji; Naito, Ryo; Okamoto, Yoshinori; Kaizawa, Hiroyuki; Okuda,

Takao; Okada, Youhei; Takeuchi, Makoto

PATENT ASSIGNEE(S):

Yamanouchi Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 68 pp.

SOURCE:

ED GI

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATE	KIND DA		DATE			APPLICATION NO.					DATE						
WO 2	2003	0622	 34	A1 20030731				W	0 20	 03-J	P545						
./	W:	ΑE,	AG,	AL,		AT,											CN.
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC.	EE,	ES.	FI.	GB.	GD.	GE.	GH.
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR.	KZ.	LC.	LK.	LR.	LS.
F		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO.	NZ.	OM.	PH.	PL.
		PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	TJ,	TM.	TN.	TR.	TT.	TZ.	UA.
		UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ.	BY.	KG.	KZ.	MD.	RU.
		ТJ,	TM					•	•	•	•	•	,	,	,	,	,
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG.	ZM.	ZW.	AT.	BE.	BG.
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI.	FR.	GB.	GR.	HU.	TF.	TT.	LU.	MC.
		NL,	PT,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF.	CG.	CI.	CM.	GA.	GN.	GO.	GW.
		ML,	MR,	NE,	SN,	TD,	TG	•	•	•			,	,	G.,,	- Z,	J,
PRIORITY	APP:	LN.	INFO	. :					JP 20	002-	1412	l	Α	2002	0123		
					JP 2002-14121 A 20020123 MARPAT 139:149653												
ED Entered STN: 01 Aug 2003																	

$$R^{1}$$
 R^{2}
 R^{3}
 R^{3}
 R^{3}
 R^{2}
 R^{3}
 R^{3}

AΒ The title quinoxaline derivs. with general formula of I [wherein wherein R1 = H, alkoxy, halo, or (un) substituted alkyl; R2 = halo, (un) substituted OH, SH, or amino, etc.; R3 = H, OH, halo, (un)substituted cycloalkyl, cycloalkenyl, heterocyclyl, or alkyl, etc.; with exclusions] and pharmaceutically acceptable salts thereof are prepd. as poly(ADP-ribose) polymerase (PARP) inhibitors for the treatment of rheumatoid arthritis. For example, the quinoxalinecarboxamide II was prepd. in a four-step synthesis starting from N-(tert-butoxycarbonyl)isonipecotic acid comprising ring formation reaction. Some of compds. I showed IC50 of 3.8-72 nM against human PARP.

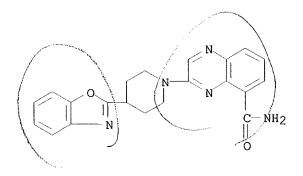
IT 569666-08-6P 569666-12-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(drug candidate; prepn. of quinoxaline derivs. as PARP inhibitors for treatment of rheumatoid arthritis)

RN 569666-08-6 CAPLUS

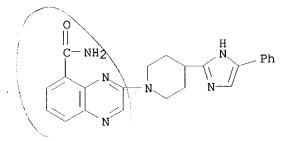
CN 5-Quinoxalinecarboxamide, 3-[4-(2-benzoxazolyl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 569666-12-2 CAPLUS

5-Quinoxalinecarboxamide, 3-[4-(4-phenyl-1H-imidazol-2-yl)-1-piperidinyl]-CN (9CI) (CA INDEX NAME)



REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

4

ACCESSION NUMBER:

2003:376549 CAPLUS

DOCUMENT NUMBER:

138:385306

TITLE:

Preparation of substituted 4-phenyl-4-(1H-imidazol-2yl)piperidine derivatives for reducing ischemic damage Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth;

INVENTOR(S):

Fernandez-Gadea, Francisco Javier; Gomez-Sanchez, Antonio; Flameng, Willem; Herijgers, Paul Joannes Ludovicus; Meert, Theo Frans; Borgers, Marcel J. M.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Belg.

SOURCE:

PCT Int. Appl., 75 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

												•						
PAT	ENT I	NO.		KI	ND I	DATE			A	PPLI	CATI	o. 1	DATE					
WO	2003	0394	40	A.	2 :	20030515			WO 2002-EP11371 20021010									
WO	2003	0394	40	A.	3 . :	2003:	1218											
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	
		RU,	ТJ,	TM														
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	BG,	
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	

PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

EP 2001-203927 A 20011015

OTHER SOURCE(S):

MARPAT 138:385306

ED Entered STN: 16 May 2003

GI

Title compds. I [A=B = bivalent .pi.-bond radical; X = covalent bond, AΒ alkyl; R1 = H, alkoxy, alkylcarbonyloxy, aryloxy, etc.; R2 = OH, alkoxy, alkylcarbonyloxy, phenyloxy, etc.; R3 = alkyl, aryl, heteroaryl, etc.; R4-5 = H, alkyl, carboxy, aminocarbonyl, etc.; p = 0-3] are prepd. N-[chloro(1-methyl-4-phenyl-4-piperidinyl)methylene]benzenemethanamine.bul .HCl (100%). Addn. of dimethoxyethanamine in DMF to give the piperidinecarboximidamide (100%), followed by redn. with NaOH provided 1-methyl-4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]piperidine (25%). Amidation with Et chloroformate in the presence of K2CO3 and DEA in toluene gave II (86 %). All compds. of the invention showed a pIC50 = 7-8for the .delta.-opioid receptor and a pIC50 .ltoreq. 6 for the .mu.- and .kappa.-receptor in [35]GTP.gamma.S radioligand binding assays. I are used for the treatment of ischemic damage to an organ (heart, brain) and for the prevention of coronary artery diseases by inducing a cardioprotective effect and the treatment and prevention of stroke.

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 4-Ph-4-(1H-imidazol-2-yl)piperidine derivs. as opioid receptor ligands for reducing ischemic damage)

RN 516520-92-6 CAPLUS CN Benzoxazole 2-[4-p

Benzoxazole, 2-[4-phenyl-4-[1-(1-phenylethyl)-1H-imidazol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 516520-94-8 CAPLUS

CN Benzoic acid, 4-[[2-[1-(2-benzoxazolyl)-4-phenyl-4-piperidinyl]-1H-imidazol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 516520-96-0 CAPLUS

CN Pyrimidine, 2-[4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 516520-98-2 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-[4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 516521-00-9 CAPLUS

CN Piperidine, 4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-(2-thiazolyl)(9CI) (CA INDEX NAME)

RN 516521-02-1 CAPLUS

CN Benzothiazole, 2-[4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

ATO ANSWER 5 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:319889 CAPLUS

DOCUMENT NUMBER: 138:338147

TITLE: Preparation of 4-phenyl-4-[1H-imidazol-2-yl]piperidine

derivatives as selective non-peptide .delta.-opioid

agonists for treatment of pain

INVENTOR(S): Janssens, Frans Eduard; Leenaerts, Joseph Elisabeth;

Fernandez-Gadea, Francisco Javier; Gomez-Sanchez,

Antonio; Meert, Theo Frans

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
2003033486	A1	20030424	WO 2002-EP11372	20021010
W. AF AC	Δτ. ΔΜ	<u> </u>	RA BR BG BR BY	. BZ. CA. CH. CN.

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

EP 2001-203926 A 20011015

OTHER SOURCE(S): MARPAT 138:338147

ED Entered STN: 25 Apr 2003

GΙ

$$R^{1}$$
 A
 N
 R^{5}
 R^{5}
 R^{6}
 R^{7}
 R^{7}

Title compds. I [wherein A=B = bivalent .pi.-bonded radical, such as CO or AΒ SO2; X = bond, CH2, or CH2CH2; R1 = H, alkoxy, alkanoyloxy, (hetero)aryloxy, heterocyclyl(carbonyl)oxy, (hetero)aroyloxy, (hetero)arylalkoxy, heterocyclylalkoxy, (halo)alkyl, alkoxyalkyl, (hetero)arylalkyl, heterocyclylalkyl, (hetero)aryl, heterocyclyl, (alkyl)thio, (hetero)arylthio, heterocyclylthio, or NR9R10; or R1A=B = (un) substituted carbocyclic, heterocyclic, or (hetero) aryl ring; R2 = OH, alkoxy, alkanoyloxy, phenoxy, benzoyloxy, halo, CN, (halo)alkyl, alkoxyalkyl, CHO, CO2H, alkanoyl, alkoxycarbonyl, NH2CO, (di)alkylaminocarbonyl, Ph, NO2, NH2, (di)alkylamino, or (alkyl)thio; R3 = alkyl, (hetero)aryl(alkyl), heterocyclyl(alkyl), (hetero)arylalkenyl, or heterocyclylalkenyl; R4 and R5 = independently H, alkyl, CO2H, NH2CO, alkoxycarbonyl, halo, or hydroxyalkyl; p = 0-3; and pharmaceutically acceptable salts, stereoisomers, tautomers, and N-oxides thereof] were prepd. as selective non-peptide .delta.-opioid agonists. In particular are claimed compds. (I) in which A=B = CO or SO2; X = a bond; R1 = alkoxy(alkyl) aryl, or NR9R10, wherein R9 and R10 = independently are H or aryl; or RIA=B = benzoxazolyl; p = 0; R3 = benzyl optionally substituted with hydroxy, alkyl, or alkoxycarbonyl; and R4 and R5 = H. For example, reaction of 1-methyl-4-phenyl-4-piperidinecarbonyl chloride with benzenemethanamine gave the amide (95%), which was chlorinated to afford N-[chloro(1-methyl-4-phenyl-4-piperidinyl)methylene]benzenemethanamine.bul .HCl (100%). Addn. of dimethoxyethanamine in DMF to give the piperidinecarboximidamide (100%), followed by redn. with NaOH provided 1-methyl-4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]piperidine (25%). Amidation with Et chloroformate in the presence of K2CO3 and DEA in toluene gave II (86 %). All compds. of the invention showed a pIC50 of .gtoreq. 6 for the .delta.-opioid receptor and a pIC50 of .ltoreq. 6 for the .mu.- and/or .kappa.-receptor in [35]GTP.gamma.S radioligand binding assays. The selectivity for the .delta.-opioid receptor over the .mu.-opioid receptor was as high as 600. Thus, I are useful for the

treatment of pain (no data).

IT 516520-92-6P 516520-94-8P 516520-96-0P 516520-98-2P 516521-00-9P 516521-02-1P

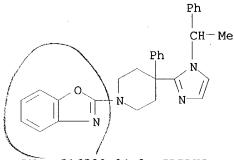
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(.delta.-opioid receptor agonist; prepn. of

(phenyl)(imidazolyl)piperidines as selective non-peptide .delta.-opioid
agonists for treatment of pain)

RN 516520-92-6 CAPLUS

CN Benzoxazole, 2-[4-phenyl-4-[1-(1-phenylethyl)-1H-imidazol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 516520-94-8 CAPLUS

CN Benzoic acid, 4-[[2-[1-(2-benzoxazolyl)-4-phenyl-4-piperidinyl]-1H-imidazol-1-yl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 516520-96-0 CAPLUS

CN Pyrimidine, 2-[4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 516520-98-2 CAPLUS

CN 1H-Benzimidazole, 1-methyl-2-[4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 516521-00-9 CAPLUS

CN Piperidine, 4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1-(2-thiazolyl)-(9CI) (CA INDEX NAME)

RN 516521-02-1 CAPLUS

CN Benzothiazole, 2-[4-phenyl-4-[1-(phenylmethyl)-1H-imidazol-2-yl]-1piperidinyl] - (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

 M_0 Answer 6 of 38 CAPLUS COPYRIGHT 2004 ACS on STN

2

ACCESSION NUMBER:

2002:408675 CAPLUS

DOCUMENT NUMBER:

136:401791

TITLE:

Benzimidazole derivatives, specifically

imidazo[4,5,1-j,k][1,4]benzodiazepin-7(4H)-one derivatives, and the preparation and therapeutic use thereof as inhibitors of poly(ADP-ribose)polymerase

(PARP).

INVENTOR(S):

Barth, Francis; Bichon, Daniel; Bolkenius, Frank; Van

Dorsselaer, Viviane

PATENT ASSIGNEE(S):

Sanofi-Synthelabo, Fr. PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

FAMILY ACC. NUM. COUNT:

French

Liu 09/669298

Page 16

GΙ

```
PATENT NO.
                        KIND
                              DATE
                                              APPLICATION NO.
                                                                 DATE
     WO 2002042306
                         A1
                              20020530
                                              WO 2001-FR3667
                                                                 20011121
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ,
                                                                 NO, NZ,
                                                                           OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
                                                                           TZ, UA,
                                                                          RU, TJ,
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,
                                                                          BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
                                                                           TD, TG
     FR 2816941
                              20020524
                                              FR 2000-15141
                         Α1
                                                                 20001123
                              20030131
     FR 2816941
                         В1
     FR 2816942
                         Α1
                              20020524
                                              FR 2001-6157
                                                                 20010510
     FR 2816942
                         В1
                              20030509
     AU 2002022003
                         A5
                              20020603
                                              AU 2002-22003
                                                                 20011121
     EP 1339719
                              20030903
                         Α1
                                              EP 2001-997491
                                                                 20011121
              AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004029866
                        Α1
                              20040212
                                              US 2003-432672
                                                                 20030523
PRIORITY APPLN. INFO.:
                                           FR 2000-15141
                                                             Α
                                                                 20001123
                                           FR 2001-6157
                                                                 20010510
                                           WO 2001-FR3667
                                                             W
                                                                 20011121
OTHER SOURCE(S):
                           MARPAT 136:401791
ED
     Entered STN:
                    31 May 2002
```

AB The invention concerns fused benzimidazole derivs. I, including their enantiomers, diastereomers, mixts., racemates, free bases, and pharmaceutically acceptable acid addn. salts [wherein: R1 = H, C1-4 alkyl or alkoxy, halo, NO2; R2, R2', R9, R9' = H, C1-4 alkyl; X = N or C; m = 1 or 2; when X = N, then R3 = H, C1-C4 alkyl, or is absent, and R4 = inparticular H, C1-C6 alkyl, C3-C7 cycloalkyl, (un)substituted 4-piperidyl, (CH2)pNR5R6, (CH2)pCONR5R6, CO(CH2)pNR5R6, (un)substituted (CH2)pPh, (CH2)p-morpholinyl, (CH2)p-pyrrolidinyl, (CH2)p-tetrahydroisoquinoline, (CH2)p-heteoraryl, heteroarylcarbonyl, phenylcarbonyl, C1-C6 alkylcarbonyl, (CH2)pCOOR', or SO2Ph; when X = C, then R3 = H, NR5R6, NHCOR7, CONHR5, COR7, NHCONH2, OH, or CH2OH, and R4 = in particular H, (un) substituted (CH2) pPh, (CH2) p-heteroaryl, or (CH2) tNR7R8; p = 0-4; t =0 or 1; R5, R6 = H, C1-4 alkyl; R7, R8 = C1-4 alkyl or alkoxy, or may together form an (un)substituted satd. ring of 5-7 members, optionally contg. an addnl. N atom]. I can be used for prepg. medicines for treating or preventing a wide variety of disorders wherein the PARP enzyme is involved. A table of 38 compds. I and salts is given. For instance, 4H-imidazo[4,5,1-ij]quinolin-2,6(1H,5H)-dione underwent chlorination of

the 2-oxo group with POC13 and NH4C1, and then ring-expansion at the 6-oxo group using NaN3 and H2SO4. The resultant intermediate, 2-chloro-5,6-dihydroimidazo[4,5,1-jk][1,4]benzodiazepin-7(4H)-one, reacted with 1-phenylpiperazine in the presence of 2,6-lutidine and CsF, in triethylene glycol monomethyl ether at 140.degree., to give title compd. II in 53% yield. The most active compds. I inhibited human recombinant PARP-1 and/or PARP-2 in vitro with IC50 values of 5-500 nM.

429689-45-2P. 2-[4-(5-Methyl-1H-imidazol-4-yl)piperidin-1-yl]-5.6-

429689-45-2P, 2-[4-(5-Methyl-1H-imidazol-4-yl)piperidin-1-yl]-5,6-dihydroimidazo[4,5,1-jk][1,4]benzodiazepin-7(4H)-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of benzimidazole derivs. as PARP inhibitors) RN 429689-45-2 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepin-7(4H)-one, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

The suggest of the control control of the control o

THO ANSWER 7 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 2002:123004 CAPLUS

DOCUMENT NUMBER: 136:183818

TITLE: Fused benzimidazole derivatives, and preparation and

therapeutic use thereof as inhibitors of

poly(ADP-ribose) polymerase (PARP)

INVENTOR(S): Barth, Francis; Bichon, Daniel; Bolkenius, Frank; Van

Dorsselaer, Viviane Sanofi-Synthelabo, Fr.

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr. SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND						DATE APPLICATION NO. DATE												
WO 2002012239 A					1	2002	0214		WO 2001-FR2556 20010806									
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
						MA,												
		RO,	RU,	SD			•	•		•	•							

```
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      FR 2812878
                                  20020215
                                                     FR 2000-10419
                                                                          20000808
      FR 2812878
                            В1
                                  20021011
      FR 2816619
                            A1
                                  20020517
                                                     FR 2000-14696
                                                                          20001115
      FR 2816619
                            В1
                                  20030131
                                                     AU 2001-82267
                                                                          20010806
      AU 2001082267
                            Α5
                                  20020218
      EP 1309594
                            Α1
                                  20030514
                                                     EP 2001-960871
                                                                          20010806
               AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
      BR 2001013046
                                   20030701
                                                     BR 2001-13046
                                                                          20010806
                            Α
      JP 2004505975
                            Т2
                                  20040226
                                                     JP 2002-518214
                                                                          20010806
                                                                          20030114
      BG 107460
                                  20030930
                                                     BG 2003-107460
                            Α
      US 2003203893
                                                     US 2003-343467
                                                                          20030130
                                  20031030
                            Α1
                                  20030401
      NO 2003000596
                                                     NO 2003-596
                                                                          20030206
PRIORITY APPLN. INFO.:
                                                 FR 2000-10419
                                                                      Α
                                                                          20000808
                                                 FR 2000-14696
                                                                      Ά
                                                                          20001115
                                                 WO 2001-FR2556
                                                                          20010806
```

OTHER SOURCE(S): MARPAT 136:183818

Entered STN: ED 15 Feb 2002

GI

The invention concerns benzimidazole derivs. I [wherein: R1 = H, C1-4 AB alkyl or alkoxy, halo, NO2; R2, R2' = H, C1-4 alkyl; X = N or C; when X =N: R3 = H or C1-4 alkyl, or does not exist; R4 = H or C1-6 alkyl, C3-7 cycloalkyl, (un) substituted C3-7 heterocycloalkyl, (un) substituted (CH2)p-heteroaryl, heteroarylcarbonyl, (halo)phenylcarbonyl, C1-6 alkylcarbonyl, (CH2)pCOOR, (un)substituted phenylsulfonyl, (un)substituted (CH2) pPh; and when X = C: R3 = H or NR5R6, N+(R5)3, NHCOR7, CONHR5, COR7, NHCONH2, OH, or CH2OH; R4 = H, (un) substituted (CH2) pPh, (un) substituted (CH2)p-heteroaryl, or (CH2)tNR7R8 group; addnl. restrictions on R4; R5, R6 = H, C1-4 alkyl; R7, R8 = C1-4 alkyl or alkoxy; or R7R8 forms an (un) substituted (un) quaternized 5- to 7-membered ring; n = 1 or 2; m = 1or 2; p = 0-4; t = 0-1; with 2 exclusions], and their stereoisomers and pharmaceutically acceptable salts are claimed. The invention compds. are useful in therapeutics for preventing or treating a wide variety of disorders wherein poly(ADP-ribose) polymerase (PARP) is involved. Over 90 invention compds., mostly imidazoquinolinone derivs., and some diazabenzazulenones derivs., are disclosed. For instance, 4H-imidazo[4,5,1-ij]quinoline-2,6(1H,5H)-dione was chlorinated with POC13

II

to give 2-chloro-4,5-dihydroimidazo[4,5,1-ij]quinolin-6-one, which reacted 4-piperidinopiperidine in the presence of KF and 2,6-lutidine to give title compd. II. I were active against human recombinant PARP-1, and also PARP-2, at concns. of 5-500 nM in vitro.

IT 398457-80-2P, 5-Methyl-2-[4-(5-methylimidazol-4-yl)piperidin-1-yl]-4,5-dihydroimidazo[4,5,1-ij]quinolin-6-one 398457-81-3P, 1-[4-(5-Methylimidazol-4-yl)piperidin-1-yl]-8,9-dihydro-7H-2,9a-diazabenzo[cd]azulen-6-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of fused benzimidazole derivs. as PARP inhibitors)

RN 398457-80-2 CAPLUS

CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 398457-81-3 CAPLUS

CN Imidazo[4,5,1-jk][1]benzazepin-7(4H)-one, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:283949 CAPLUS

DOCUMENT NUMBER:

134:311218

TITLE:

Synthesis and use of heterocyclic sodium/proton

exchange inhibitors

INVENTOR(S):

Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,

Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

GΙ

PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

					KIND DATE					PPLI			DATE					
	WO	2001 2001	0271	07	A	2	2001	0419							2000	1002		
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,
			HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KΡ,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	ΝZ,	PL,	PT,	RO,	RU,
							SK,											
			YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM				
		RW:					MW,								AT,	BE,	CH,	CY,
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
			CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
	ΕP	1224	183		Α	2	2002	0724		E	P 20	00-9	6872	3	2000	1002		
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	ΝL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	\mathtt{AL}							
•		2000									R 20	00-1	4725		2000	1002		
	JΡ	2003	5273	31	T	2	2003	0916		J					2000			
	NO	2002	0017	17	Α		2002	0610		N	0 20	02-1	717		2002	0411		
PRIO	RIT	Y APP	LN.	INFO	.:					US 1	999-	1587	55P	Ρ	1999	1012		
WO 2000-US27461 W 20001002										1002								
OTHE	R S	OURCE	(S):			MAF	RPAT	134:	3112	18								
ED	En	tered	STN	: 2	aA 0	r = 20	01											

Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, AB halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl) 3Si, cycloalk(en) yl, (aryl) amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. intermediate tert-Bu ester is converted to the corresponding .alpha.-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, .beta.-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial

necrosis, and arrhythmia.

ΙT 335062-12-9P 335062-43-6P 335062-57-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

335062-12-9 CAPLUS RN

Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-nitro-2-thienyl)- (9CI) CN (CA INDEX NAME)

RN 335062-43-6 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

335062-57-2 CAPLUS RN

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} & \\ & \text{N} & \\ & \text{N} & \\ & \text{Me} & \\ \end{array}$$

IT 146365-55-1P 335062-07-2P 335062-09-4P

335062-10-7P 335062-11-8P 335062-13-0P

335062-26-5P 335062-27-6P 335062-28-7P

335062-29-8P 335062-30-1P 335062-31-2P

335062-32-3P 335062-33-4P 335062-34-5P

```
335062-35-6P 335062-36-7P 335062-37-8P
335062-38-9P 335062-39-0P 335062-40-3P
335062-41-4P 335062-42-5P 335062-44-7P
335062-46-9P 335062-47-0P 335062-48-1P
335062-49-2P 335062-50-5P 335062-51-6P
335062-52-7P 335062-53-8P 335062-54-9P
335062-55-0P 335062-56-1P 335062-58-3P
335062-59-4P 335062-60-7P 335062-61-8P
335062-62-9P 335062-63-0P 335062-64-1P
335062-65-2P 335062-66-3P 335062-67-4P
335062-68-5P 335062-69-6P 335062-71-0P
335062-72-1P 335062-73-2P 335062-74-3P
335062-75-4P 335062-76-5P 335062-77-6P
335062-78-7P 335062-79-8P 335062-80-1P
335062-81-2P 335062-82-3P 335062-83-4P
335062-84-5P 335062-85-6P 335062-86-7P
335062-87-8P 335062-88-9P 335062-89-0P
335062-90-3P 335062-91-4P 335062-92-5P
335062-93-6P 335062-94-7P 335062-95-8P
335062-96-9P 335062-97-0P 335062-98-1P
335062-99-2P 335063-00-8P 335063-01-9P
335063-02-0P 335063-03-1P 335063-04-2P
335063-05-3P 335063-06-4P 335063-07-5P
335063-08-6P 335063-09-7P 335063-10-0P
335063-11-1P 335063-12-2P 335063-13-3P
335063-14-4P 335063-15-5P 335063-16-6P
335063-17-7P 335063-18-8P 335063-19-9P
335063-20-2P 335063-21-3P 335063-22-4P
335063-23-5P 335063-24-6P 335063-25-7P
335063-26-8P 335063-27-9P 335063-28-0P
335063-29-1P 335063-30-4P 335063-31-5P
335063-32-6P 335063-33-7P 335063-34-8P
335063-35-9P 335063-36-0P 335063-37-1P
335063-38-2P 335063-39-3P 335063-40-6P
335063-41-7P 335063-42-8P 335063-43-9P
335063-44-0P 335063-45-1P 335063-46-2P
335063-47-3P 335063-48-4P 335063-49-5P
335063-54-2P 335063-55-3P 335063-56-4P
335063-57-5P 335063-58-6P 335063-59-7P
335063-69-9P 335063-70-2P 335063-71-3P
335063-72-4P 335063-73-5P 335063-74-6P
335063-75-7P 335063-77-9P 335063-78-0P
335063-79-1P 335063-80-4P 335063-81-5P
335063-82-6P 335063-83-7P 335063-84-8P
335063-85-9P 335063-86-0P 335063-87-1P
335063-88-2P 335063-89-3P 335063-90-6P
335063-91-7P 335063-92-8P 335063-93-9P
335063-94-0P 335063-95-1P 335063-96-2P
335063-97-3P 335063-98-4P 335063-99-5P
335064-00-1P 335064-01-2P 335064-02-3P
335064-03-4P 335064-04-5P 335064-05-6P
335064-06-7P 335064-07-8P 335064-08-9P
335064-09-0P 335064-10-3P 335064-11-4P
335064-12-5P 335064-13-6P 335064-14-7P
335064-15-8P 335064-16-9P 335064-17-0P
335064-18-1P 335064-19-2P 335064-20-5P
335064-21-6P 335064-22-7P 335064-23-8P
335064-24-9P 335064-25-0P 335064-26-1P
335064-27-2P 335064-28-3P 335064-29-4P
335064-30-7P 335064-31-8P 335064-32-9P
335064-33-0P 335064-34-1P 335064-35-2P
335065-05-9P:335065-06-0P 335065-07-1P
335065-08-2P
```

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 146365-55-1 CAPLUS

CN Benzothiazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-07-2 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(1-phenyl-1H-tetrazol-5-yl)-(9CI) (CA INDEX NAME)

RN 335062-09-4 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-10-7 CAPLUS

CN Pyridazine, 3-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenyl-(9CI) (CA INDEX NAME)

RN 335062-11-8 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(methylthio)-5-phenyl- (9CI) (CA INDEX NAME)

RN 335062-13-0 CAPLUS

CN 3-Thiophenamine, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-26-5 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-27-6 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-26-5

CMF C17 H19 C12 N7 O

CM

CRN 76-05-1 CMF C2 H F3 O2

335062-28-7 CAPLUS RN

Piperidine, 1-[1-(4-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-CNimidazol-4-yl)- (9CI) (CA INDEX NAME)

RN

335062-29-8 CAPLUS
Piperidine, 1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-CN imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-30-1 CAPLUS

CN Piperidine, 1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-31-2 CAPLUS

CN Piperidine, 1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-32-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-33-4 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-34-5 CAPLUS

CN Piperidine, 1-[1-(3,4-dichlorophenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-35-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(phenylmethyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-36-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[1-(3-methylphenyl)-1H-tetrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-37-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-38-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-37-8

CMF C17 H20 C12 N8 O

$$\begin{array}{c|c} N & M & MH_2 \\ N & N & Me \\ \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335062-39-0 CAPLUS CN 1H-Imidazol-2-amine

1H-Imidazol-2-amine, 4-methyl-5-[1-[1-(3-methylphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-40-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-41-4 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-42-5 CAPLUS
CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-44-7 CAPLUS CN 1H-Imidazol-2-amine, 4-methyl-5-[1-(1-phenyl-1H-tetrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335062-46-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(4-chloro-3-methylphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335062-47-0 CAPLUS

CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335062-48-1 CAPLUS

CN Acetamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335062-47-0

CMF C19 H23 C1 N8 O2

CM

CRN 76-05-1 C2 H F3 O2 CMF

335062-49-2 CAPLUS RN

Acetamide, N-[4-[1-[1-(2-chlorophenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-CN methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN

335062-50-5 CAPLUS Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-CN piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335062-51-6 CAPLUS

CN Propanamide, N-[4-[1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

RN 335062-52-7 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335062-33-4

CMF C17 H20 C1 N7 O

CM 2

CRN 76-05-1

CMF C2 H F3 O2

RN 335062-53-8 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-54-9 CAPLUS

CN Piperidine, 1-[1-(2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

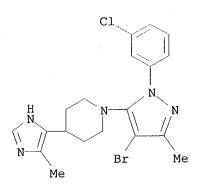
RN 335062-55-0 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-1H-tetrazol-5-yl]-4-(1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-56-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

- RN 335062-58-3 CAPLUS
- CN Piperidine, 1-[4-bromo-1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)



- RN 335062-59-4 CAPLUS
- CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-(9CI) (CA INDEX NAME)

- RN 335062-60-7 CAPLUS
- CN Piperidine, 1-[1-(3-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-61-8 CAPLUS

CN Piperidine, 1-[1-(4-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-62-9 CAPLUS

CN Piperidine, 1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-63-0 CAPLUS

CN Piperidine, 1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-64-1 CAPLUS

Piperidine, 1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-CN imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-65-2 CAPLUS

Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(2-methylphenyl)-CN 1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN

335062-66-3 CAPLUS Piperidine, 1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-4-dichlorophenyl)CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-67-4 CAPLUS

CN Piperidine, 1-[1-(3,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-68-5 CAPLUS

CN Benzenesulfonamide, 4-[3-methyl-5-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)

$$O = S - NH_2$$

$$N = N$$

$$N = Me$$

$$Me$$

RN 335062-69-6 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

335062-71-0 CAPLUS
Piperidine, 1-[1-(2-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-CN imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-72-1 CAPLUS

Piperidine, 1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methylphenyl)CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN

335062-73-2 CAPLUS Piperidine, 1-[1-(3,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4-(5-methyl-5-yl)-4CNmethyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-74-3 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(4-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-75-4 CAPLUS

CN Piperidine, 1-[1-(4-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-76-5 CAPLUS

CN Piperidine, 1-[1-(2,4-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

335062-77-6 CAPLUS Piperidine, 1-[1-(2,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-dimethylphenyl)CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-78-7 CAPLUS

Piperidine, 1-[1-(2,4-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN

335062-79-8 CAPLUS Piperidine, 1-[1-(2,5-difluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

335062-80-1 CAPLUS
Piperidine, 1-[1-(2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME) CN

335062-81-2 CAPLUS RN

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-82-3 CAPLUS

Piperidine, 1-[1-[3,5-bis(trifluoromethyl)phenyl]-3-methyl-1H-pyrazol-5-CN y1]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

335062-83-4 CAPLUS
Piperidine, 1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-84-5 CAPLUS

Piperidine, 1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN

335062-85-6 CAPLUS
Piperidine, 1-[1-(3,5-dimethylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-86-7 CAPLUS

CN Piperidine, 1-[1-(5-fluoro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-87-8 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-phenyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-88-9 CAPLUS

CN Piperidine, 1-[1-(5-chloro-2-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-89-0 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[2-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-90-3 CAPLUS

CN Piperidine, 1-[1-(2-chloro-6-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-91-4 CAPLUS

CN Piperidine, 1-[1-(2,6-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-92-5 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-93-6 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335062-94-7 CAPLUS

CN Piperidine, 4-(5-methyl-1H-imidazol-4-yl)-1-[3-methyl-1-[4-(trifluoromethoxy)phenyl]-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

335062-95-8 CAPLUS
Piperidine, 1-[1-(2-chloro-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

335062-96-9 CAPLUS RN

CN Piperidine, 1-[1-(5-chloro-2-methylphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-97-0 CAPLUS

Piperidine, 1-[1-(3-bromophenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-CN imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-98-1 CAPLUS

CN Piperidine, 1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335062-99-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-00-8 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{MeO} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{Me} \\ & \text{Me} \\ \end{array}$$

RN 335063-01-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,5-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ H_2N & & & \\ N & & & \\ N & & & \\ Me & & \\ Me & & \\ \end{array}$$

RN 335063-02-0 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(2-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ H_2N & & & \\ N & & & \\ N & & & \\ Me & & \\ Me & & \\ \end{array}$$

RN 335063-03-1 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,4-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-04-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(2,3-dichlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-05-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-fluorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-06-4 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-[3-(trifluoromethyl)phenyl]-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} F_3C \\ \hline \\ H_2N \\ \hline \\ N \\ \hline \\ Me \\ \end{array}$$

RN 335063-07-5 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[3-methyl-1-(3-methylphenyl)-1H-pyrazol-5-yl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-08-6 CAPLUS

CN 1H-Imidazol-2-amine, 4-methyl-5-[1-(3-methyl-1-phenyl-1H-pyrazol-5-yl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-09-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-ethyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Cl} \\ & \text{N} \\ & \text{N} \\ & \text{N} \\ & \text{Me} \\ \end{array}$$

RN 335063-10-0 CAPLUS

CN Piperidine, 1-[4-bromo-1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335063-11-1 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-12-2 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335063-11-1 CMF C19 H20 C1 N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-13-3 CAPLUS

CN Pyrimidine, 4-chloro-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2,5-diphenyl- (9CI) (CA INDEX NAME)

RN 335063-14-4 CAPLUS

CN Pyrimidine, 5-bromo-2-chloro-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-15-5 CAPLUS

CN Thieno[2,3-d]pyrimidine, 5-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-16-6 CAPLUS

CN Pyrimidine, 4,5-dimethyl-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 335063-17-7 CAPLUS

CN Pyrimidine, 4-chloro-5-(3-chlorophenyl)-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-18-8 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

335063-19-9 CAPLUS
Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM

CRN 335063-18-8 C19 H19 Cl F N5 CMF

CM 2

CRN 76-05-1 CMF C2 H F3 O2

335063-20-2 CAPLUS
Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-CN methylphenyl) - (9CI) (CA INDEX NAME)

RN 335063-21-3 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335063-22-4 CAPLUS

CN Pyrimidine, 5-(2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-23-5 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-24-6 CAPLUS

Pyrimidine, 5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl] - (9CI) (CA INDEX NAME)

RN

335063-25-7 CAPLUS Pyrimidine, 5-(3,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-26-8 CAPLUS

Pyrimidine, 5-(3-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CNpiperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-27-9 CAPLUS

Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-4-yl)-1-piperidinyl]-5-(3-methyl-4-yl)-1-piperidinyl]-5-(3-methyl-4-yl)-1-piperidinyl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4-yl]-1-piperidinyl[-1H-imidazol-4CN methylphenyl) - (9CI) (CA INDEX NAME)

335063-28-0 CAPLUS Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-5-[3-methyl-1H-imidazol-4-yl]-1-piperidinyl]-1-piperidinyl]-1-piperidinylCN (trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-29-1 CAPLUS

Pyrimidine, 5-(3-ethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-30-4 CAPLUS

Pyrimidine, 5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-31-5 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-32-6 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-33-7 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-34-8 CAPLUS

CN Pyrimidine, 5-(2,3-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-35-9 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-36-0 CAPLUS

CN Pyrimidine, 5-(5-fluoro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-37-1 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-38-2 CAPLUS

CN 2-Pyrimidinamine, 5-(3-chloro-4-fluorophenyl)-N, N-dimethyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-39-3 CAPLUS

CN Morpholine, 4-[5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335063-40-6 CAPLUS

CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-41-7 CAPLUS

CN Pyrimidine, 4-ethoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335063-40-6 CMF C21 H25 N5 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-42-8 CAPLUS

CN Pyrimidine, 4-methoxy-6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-43-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-phenoxy-5-phenyl- (9CI) (CA INDEX NAME)

RN 335063-44-0 CAPLUS

CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335063-45-1 CAPLUS

CN Morpholine, 4-[6-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-4-pyrimidinyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335063-44-0 CMF C23 H28 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-46-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-6-(1-piperidinyl)- (9CI) (CA INDEX NAME)

RN 335063-47-3 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-48-4 CAPLUS

CN Acetamide, N-[4-[1-[5-(3-chloro-4-fluorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335063-49-5 CAPLUS

Pyrimidine, 5-(3-chloro-4-fluorophenyl)-4-[4-(1,5-dimethyl-1H-imidazol-4-CN yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN

335063-54-2 CAPLUS Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335063-55-3 CAPLUS

Piperidine, 1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-CN methyl-1H-imidazol-4-yl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM1

CRN 335063-54-2 CMF C18 H21 Cl N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-56-4 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-57-5 CAPLUS

1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CN

CRN 335063-56-4 CMF C18 H22 C1 N7

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-58-6 CAPLUS

CN Piperidine, 1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335063-59-7 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chloro-4-methylphenyl)-3-methyl-1H-1,2,4-triazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335063-69-9 CAPLUS

CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

RN 335063-70-2 CAPLUS

CN Acetamide, N-[4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 335063-69-9

CMF C21 H25 C1 N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335063-71-3 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

RN 335063-72-4 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 335063-73-5 CAPLUS

CN Carbamic acid, [4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl-1H-imidazol-2-yl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

$$i\text{-PrO-C-NH} \qquad \begin{matrix} \text{N} \\ \\ \text{N} \end{matrix} \qquad \begin{matrix} \text{N} \\ \\ \text{N} \end{matrix} \qquad \begin{matrix} \text{N} \\ \\ \text{Me} \end{matrix}$$

335063-74-6 CAPLUS Propanamide, N-[4-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4-CN piperidinyl]-5-methyl-1H-imidazol-2-yl]- (9CI) (CA INDEX NAME)

335063-75-7 CAPLUS RN

CN 1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-methyl-1H-pyrazol-5-yl]-4piperidinyl]-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 335063-77-9 CAPLUS

Pyrimidine, 5-(2,5-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl] - (9CI) (CA INDEX NAME)

RN 335063-78-0 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-79-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 335063-80-4 CAPLUS

CN Pyrimidine, 5-(1,3-benzodioxol-5-yl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-81-5 CAPLUS

CN Benzoic acid, 3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335063-82-6 CAPLUS

CN Ethanone, 1-[3-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-pyrimidinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 335063-83-7 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-84-8 CAPLUS

CN Pyrimidine, 5-(2,5-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-85-9 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 335063-86-0 CAPLUS

CN Pyrimidine, 5-(3,4-dimethoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-87-1 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[4-(methylthio)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-88-2 CAPLUS

CN Pyrimidine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(1-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 335063-89-3 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-90-6 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-91-7 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-92-8 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 335063-93-9 CAPLUS

CN Pyrimidine, 5-(2,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-94-0 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-95-1 CAPLUS

CN Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335063-96-2 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-97-3 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-98-4 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335063-99-5 CAPLUS

CN Pyrimidine, 5-(2-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-00-1 CAPLUS

CN Pyrimidine, 5-(3-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-01-2 CAPLUS

CN Pyrimidine, 5-(4-chlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-02-3 CAPLUS

CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 335064-03-4 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-04-5 CAPLUS

CN Pyrimidine, 5-(3,4-dichlorophenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-05-6 CAPLUS

CN Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 335064-06-7 CAPLUS

CN Pyrimidine, 5-(2,5-dimethylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-07-8 CAPLUS

CN Pyrimidine, 5-(4-fluoro-3-methylphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-08-9 CAPLUS

CN Pyrimidine, 5-(5-chloro-2-methoxyphenyl)-2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-09-0 CAPLUS

Pyrimidine, 2-(1-methylethoxy)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-CN piperidinyl]-5-[3-(trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN

335064-10-3 CAPLUS
Pyrimidine, 2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-CN (trifluoromethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 335064-11-4 CAPLUS

CN Morpholine, 4-[5-(2-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-12-5 CAPLUS

CN Morpholine, 4-[5-(3-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-13-6 CAPLUS

CN Morpholine, 4-[5-(4-chlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-14-7 CAPLUS

CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethyl)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-15-8 CAPLUS

CN Morpholine, 4-[5-(2,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-16-9 CAPLUS

CN Morpholine, 4-[5-(3,4-dichlorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 335064-17-0 CAPLUS

CN Morpholine, 4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-(3-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-piperidinyl[-1-piperidinyl]-1-piperidinyl[-1-piperidinyl]-1-piperidinyl[-1-piperidinyl]-1-piperidinyl[-1-piperidinyl]-1-piperidinyl[-1

methylphenyl)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN

335064-18-1 CAPLUS Morpholine, 4-[5-(2,5-dimethylphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)CN

335064-19-2 CAPLUS RN

 $\label{lem:morpholine} \mbox{Morpholine, 4-[5-(4-fluoro-3-methylphenyl)-4-[4-(5-methyl-1H-imidazol-4-methylphenyl)-4-[4-(5-methylphenyl)-4-(4-methylphenyl)-4-[4-(5-methylphenyl)-4-(4-methylphenyl)-4-(4-methylphenyl)-4-[4-(5-methylphenyl)-4-(4-methylphenyl)-4-(4-methylphenyl)-4-[4-(5-methylphenyl)-4-methylphenyl)-4-[4-(5-methylphenyl)-4-(4-methylphenylph$ CNyl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN

335064-20-5 CAPLUS

CN Morpholine, 4-[5-(5-chloro-2-methoxyphenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

- RN 335064-21-6 CAPLUS
- CN Morpholine, 4-[4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-5-[3-(trifluoromethoxy)phenyl]-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

- RN 335064-22-7 CAPLUS
- CN 1H-Imidazol-2-amine, 4-methyl-5-[1-[5-(3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

- RN 335064-23-8 CAPLUS
- CN 1H-Imidazol-2-amine, 4-[1-[5-(2,5-dimethylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-24-9 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(4-fluoro-3-methylphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-25-0 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3,4-dichlorophenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-26-1 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(5-chloro-2-methoxyphenyl)-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-27-2 CAPLUS

CN 1H-Imidazol-2-amine, 4-[1-[5-(3-chloro-4-fluorophenyl)-2-methoxy-4-pyrimidinyl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 335064-28-3 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-29-4 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-28-3

CMF C21 H20 C1 F N6

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335064-30-7 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-31-8 CAPLUS

CN 2-Pyrimidineacetamide, 5-(3-chloro-4-fluorophenyl)-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-30-7

CMF C25 H30 C1 F N6 O

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335064-32-9 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-33-0 CAPLUS

CN Pyrimidine, 5-(3-chloro-4-fluorophenyl)-2-methyl-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-32-9

CMF C20 H21 C1 F N5

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 335064-34-1 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-35-2 CAPLUS

CN 2-Pyrimidineacetic acid, 5-(3-chloro-4-fluorophenyl)-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 335064-34-1

CMF C21 H21 C1 F N5 O2

09/669298

2 CM

CRN 76-05-1 C2 H F3 O2 CMF

335065-05-9 CAPLUS RN

1H-Imidazol-2-amine, 4-[1-[1-(3-chlorophenyl)-3-(trifluoromethyl)-1H-pyrazol-5-yl]-4-piperidinyl]-5-methyl- (9CI) (CA INDEX NAME) CN

RN335065-06-0 CAPLUS

Piperidine, 4-(1,5-dimethyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methoxyphenyl)-3-methoxyphenyl)-3-methoxyphenyl)CN methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

RN 335065-07-1 CAPLUS

CN Piperidine, 1-[4-bromo-1-(2-bromo-5-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]-4-(5-methyl-1H-imidazol-4-yl)- (9CI) (CA INDEX NAME)

RN 335065-08-2 CAPLUS

CN Piperidine, 4-(2-iodo-5-methyl-1H-imidazol-4-yl)-1-[1-(3-methoxyphenyl)-3-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

IT 335064-81-8P 335064-82-9P 335064-94-3P 335064-95-4P 335064-96-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and use of heterocyclic sodium/proton exchange inhibitors)

RN 335064-81-8 CAPLUS

CN Pyrimidine, 5-iodo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI)
(CA INDEX NAME)

RN 335064-82-9 CAPLUS

CN Pyrimidine, 5-bromo-2-methoxy-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-94-3 CAPLUS

CN Piperidine, 1-[1-(2,4-dichloro-5-methoxyphenyl)-1H-tetrazol-5-yl]-4-[2-[(4-methoxyphenyl)azo]-5-methyl-1H-imidazol-4-yl]- (9CI) (CA INDEX NAME)

RN 335064-95-4 CAPLUS

CN 2-Pyrimidineacetonitrile, 5-bromo-4-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 335064-96-5 CAPLUS

2-Pyrimidineacetamide, 5-bromo-N-(1,1-dimethylethyl)-4-[4-(5-methyl-1H-CN imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

```
t-BuNH-C
            Br
Me
```

L10 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2001:709746 CAPLUS

DOCUMENT NUMBER:

135:257261

TITLE:

Preparation of 2-(piperidin-1-yl)pyrimidones for

preventive and/or therapeutic treatment of a

neurodegenerative disease caused by abnormal activity

of GSK3.beta.

INVENTOR(S):

Almario-Garcia, Antonio; Frost, Jonathan Reid; Li-Tak,

Adrien

PATENT ASSIGNEE(S):

Sanofi-Synthelabo, Fr.; Mitsubishi-Tokyo

Pharmaceuticals, Inc. Eur. Pat. Appl., 14 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

1	PATENT NO.					KIND DATE				P	PPLI	CATI	ο.	DATE					
- I	 EP	1136489								EP 2000-400802									
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
							FI,							_					
1	MO	2001								WO 2001-EP3639 20010322									
		W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	ΒG,	BR,	ΒY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GΕ,	GH,	GM,	
			HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	
			LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	
			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	
											KZ,								
		RW:													ΑT,	BE,	CH,	CY,	
															PT,				
															TD,				
PRIOR	ITY	APP			. :					EP 2	2000-	4008	01	Α	2000	0323			
										EP 2	2000-	4008	02	Α	2000	0323			
										EP 2	2000-	4008	03	Α	2000	0323			
OTHER	SC	URCE	(S):			MAR	PAT	135:2	2572	61	,								
ED 1																			

The title compds. [I; R1 = (un) substituted aryl, heterocyclic ring having AB 1-4 hetero atoms selected from O, S, and N atoms, (un) substituted alkyl; R2 = pyridyl optionally substituted by alkyl, alkoxy or halo] and their salts, useful for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta., such as Alzheimer's disease, Parkinson's disease, frontoparietal dementia, corticobasal degeneration, Pick's disease, cerebrovascular accidents, brain and spinal trauma, and peripheral neuropathy, were prepd. and formulated. E.g., a 3-step synthesis of I [R1 = Ph; R2 = 4-pyridyl] was given. All exemplified compds. I showed IC50's of 0.5-10 .mu.M against GSK3.beta..

362467-49-0P 362467-50-3P

Ι

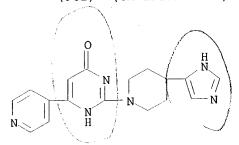
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 2-(piperidin-1-yl)pyrimidones for preventive and/or therapeutic treatment of a neurodegenerative disease caused by abnormal activity of GSK3.beta.)

362467-49-0 CAPLUS RN

IT

4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-CN (CA INDEX NAME)



RN 362467-50-3 CAPLUS

4(1H)-Pyrimidinone, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-(4-pyridinyl)-CN , (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

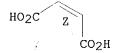
CM 1

CRN 362467-49-0 C17 H18 N6 O CMF

```
CM
      2
```

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.



REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

2000:900645 CAPLUS

DOCUMENT NUMBER:

134:42071

TITLE:

Preparation of aryl and heteroaryl substituted

thienopyridines and quinolines as GABA brain receptor

ligands

INVENTOR(S):

Cai, Guolin; Liu, Gang; Albaugh, Pamela A.

PATENT ASSIGNEE(S):

SOURCE:

Neurogen Corporation, USA

PCT Int. Appl., 42 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

ED GI

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	KI	ND	DATE			A			ON NO	ο.	DATE					
	WO 2000077008 WO 2000077008						W	0 20		S167	31	20000615				
	AE,	AL,	AM,	AT,	AU,	AZ,							CH,			
													GM,			
•	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LŲ,
	MA,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	ΝZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,
	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,
	ΑM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM							
RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
													PT,			
					GA,									•	•	•
US 6297	256		В	1	2001	1002		Ü	S 20	00-5	9603	1 .	2000	0615		
US 6297256 B1 20011002 US 2000-596031 20000615 PRIORITY APPLN. INFO.: US 1999-139202P P 19990615																
OTHER SOURCE	(S):			MAR	PAT	134:	4207	1								
ED Entered							,	_								
CT																

AΒ The title compds. [I; n = 0-3; X = N, CH, C(alkyl); R = H, alkyl, OH, etc.; A,B = H, alkyl; R1 and R2, together with the two carbon atoms to which they are attached, form (un) substituted 5-7 membered aryl, heteroaryl; W = (un) substituted aryl, heteroaryl, thienyl, etc.] which are highly selective agonists, antagonists or inverse agonists for GABAA brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAA brain receptors and are therefore useful in the diagnosis and treatment of anxiety, depression, Down Syndrome, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory, were prepd. E.g., a 3-step synthesis of II was given. The compds. I are effective at 0.1-140 mg/kg/day. The compds. I are also useful as probes for the localization of GABAA receptors in tissue samples.

239799-72-5P 239799-74-7P 239799-75-8P IT

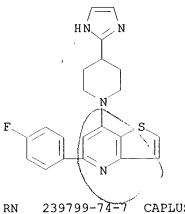
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aryl and heteroaryl substituted thienopyridines and quinolines as GABA brain receptor ligands)

RN 239799-72-5 CAPLUS

CN

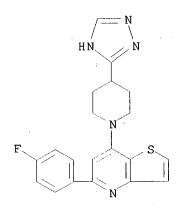
Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-imidazol-2-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)



CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

239799-75-8 CAPLUS RN CN

Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L10 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:631890 CAPLUS

DOCUMENT NUMBER: 133:222737

TITLE: Preparation of 4-phenyl-4-heteroarylpiperidines as

ligands for opioid receptors

INVENTOR(S):

Liras, Spiros; McHardy, Stanton Furst

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Jpn. Kokai Tokkyo Koho, 34 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
JF	2000247969	A2	20000912	JP 2000-44911	20000222				
JF	3370038	B2	20030127						
ΕF	1038872	A1	20000927	EP 2000-300974	20000208				
	R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU	, NL, SE, MC, PT,				

Page 99

IE, SI, LT, LV, FI, RO 20020903 US 2000-503679 US 6444679 В1 20000214 CA 2000-2299036 CA 2299036 AA 20000822 20000221 BR 2000000901 20010821 BR 2000-901 Α 20000222 PRIORITY APPLN. INFO.: US 1999-121156P P 19990222 OTHER SOURCE(S): MARPAT 133:222737 Entered STN: 12 Sep 2000 ED

GI

AB

IT

The title compds. [I; X, Y = O, N, S, CH; provided that the ring contg. Xand Y is arom. and both X and Y are not simultaneously O or S; n = 0,1; R1 = H, CO-8 alkoxy-CO-8 alkyl (a total C atoms being .ltoreq.8), aryl, aryl-C1-8 alkyl, heteroaryl, heteroaryl-C1-8 alkyl, heterocyclyl, heterocyclyl-C1-8 alkyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-8 alkyl, etc.; R2 = H, aryl, halo, heteroaryl, heterocyclyl, SO2R4, COR4, CONR5R6, CO2R4, C(OH)R5R6, etc.; wherein R4, R5, or R6 is selected from group defined in R1 or R5 and R6 together with bonded N or C atom form 3 to 7-membered ring contg. 0-3 heteroatoms selected from O, N, and S; R3 = HO, hydroxy-C1-6 alkyl, C1-6 alkyl-C1-6 alkoxy, NHSO2R7, C(OH)R7R8, halo, heteroaryl, CONHR7; R7, R8 = H, C1-4 alkyl, C1-4 alkoxy, or C1-4 alkoxy-C1-4 alkyl, wherein each alkyl is optionally substituted with 1-7 F atom(s); Z1 = H, halo, C1-5 alkyl; provided that two-adjacent ring oxygen or nitrogen atoms or ring O atom adjacent to ring S atom do not exist in heterocyclic or heteroaryl portion] are prepd. These compds. regulate bindings to opioid receptors and are useful for the improvement, prevention, or treatment of various disorders or conditions, e.g. (1) inflammatory diseases such as arthritis, psoriasis, and asthma, (2) disorders of respiratory function such as asthma, coughing, and apnea (breathlessness), (3) allergy, (4) gastrointestinal disorders such as gastritis, functional intestinal disorders, irritable bowel syndromes, functional diarrhea, functional dilation, functional pain, indigestion not forming peptic ulcer, gastrointestinal motility disorders, and vomiting, (5) stroke, (6) shock, (7) brain edema, (8) brain injury, (9) spinal cord injury, (10) brain ischemia, (11) brain failure suffered after heart bypass or transplant surgery, (12) urinary or reproductive tract disorders including incontinence, (13) chem. dependence or addiction, (14) chronic pain, (15) acute or neurol. pain, (16) systemic lupus erythematosus, (17) Hodgkin's disease, (18) Sjoegren disease, (19) epilepsy, and (20) rejection of organ transplant or skin grafting (no data). Thus, oxidn. of N, N-diethyl-2-[4-(3-hydroxymethylphenyl)-1-(2-methylpentyl)piperidin-4yl]pyrimidine-5-carboxamide by tetrapropylammonium perruthenate and N-methylmorpholine N-oxide in CH2Cl2 in the presence of 4.ANG. mol. sieve gave an aldehyde which underwent addn. reaction with methylmagnesium bromide in THF at -70.degree. to give N,N-diethyl-2-[4-[3-(1hydroxyethyl)phenyl]-1-(2-methylpentyl)piperidin-4-yl]pyrimidine-5carboxamide.

291753-96-3P 291753-97-4P 291753-99-6P

291754-01-3P 291754-03-5P 291754-38-6P 291754-39-7P 291754-40-0P 291754-41-1P

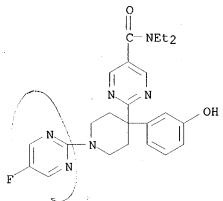
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenylheteroarylpiperidines as ligands for opioid receptors and drugs)

RN 291753-96-3 CAPLUS

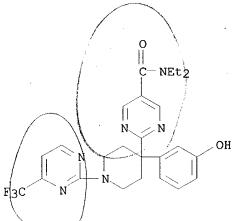
CN

5-Pyrimidinecarboxamide, N,N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 291753-97-4 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 291753-99-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-01-3 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-03-5 CAPLUS

CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 291754-38-6 CAPLUS

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-39-7 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-40-0 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-41-1 CAPLUS

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

```
-NEt2
         OMe
```

CAPLUS COPYRIGHT 2004 ACS on STN LTO ANSWER 12 OF 38

ACCESSION NUMBER:

1999:566053 CAPLUS

DOCUMENT NUMBER:

131:184941

TITLE:

Preparation of 1-(5-arylthieno[3,2-b]pyridin-7yl)piperidine-4-carboxamides and analogs as GABAA

receptor ligands

INVENTOR(S):

Cai, Guolin; Liu, Gang; Chen, Guoquing; Albaugh,

Pamela

PATENT ASSIGNEE(S):

Neurogen Corporation, USA

SOURCE:

PCT Int. Appl., 76 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

Р	PATENT NO.				KIND DATE					i	APPLI	CATI	ON NO	o.	DATE				
 W		9943682						990902			vo 19	99-U	S4223	19990226					
		W:	AL.	AM.	AT,	AU,	AZ,	BA,	BB,	BG	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
			DK.	EE.	ES.	FI,	GB,	GD,	GE,	GH	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	
			KE.	KG.	KP.	KR.	KZ.	LC,	LK,	LR	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	
			MW.	MX.	NO.	NZ.	PL,	PT,	RO,	RU	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	
			TR.	TT.	UA.	UG.	US,	UZ,	VN,	YU	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	
			TJ,			•	•	•	·				•						
		RW:			KE,	LS.	MW.	SD,	SL,	SZ	, UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	
			ES.	FI.	FR.	GB,	GR.	IE,	IT,	LU	, MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	
			CI.	CM,	GA,	GN,	GW,	ML,	MR,	NE	, SN,	TD,	TG						
A	(I) C	99279	931		A	1	1999	0915			AU 19	99-2	7931		1999	0226			
[]	is 6	51662	203		A		20001226				US 1999-259146					19990226			
U	IS 2	20020	0774	7 4	A	1	20020620				JS 20	00-7	3649	7	20001213				
	US 6423711 B2 2002 PRIORITY APPLN. INFO.:									US	1998-	7609	9P	P	1998	0226			
										US	1999-	2591	46	A1	1999	0226			
										WO	1999-	US42	23	W	1999	0226			
OTHER	sot	JRCE	(S):			MAR	PAT	131:						•					
ED E																			

GI

$$\begin{array}{c|cccc}
R4 & & & & & & & & \\
R3 & & & & & & & & & \\
R2 & & & & & & & & & \\
R1 & & & & & & & & & & & \\
\end{array}$$

AB Title compds. [I; R = (un)substituted (hetero)aryl; R1R2 = atoms to complete a thiophene, pyridine, or pyrimidine ring; R3,R4 = H or alkyl; Z = O, CHR5, NR5; R5 = H, aryl, CO2H, CONH2, alkoxycarbonyl, etc.; Z1 = bond or (CH2)1-3] were prepd. Thus, 3-amino-2-thiophenecarboxylic acid was cyclocondensed with 4-FC6H4COCH2CO2Et and the chlorinated product aminated by isonipecotamide to give I (R = C6H4F-4, R1R2 = CH:CHS, R3 = R4 = H, Z = CHCONH2, Z1 = CH2CH2). Data for biol. activity of I were given.

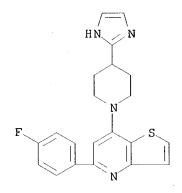
239799-72-5P 239799-74-7P 239799-75-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-(5-arylthieno[3,2-b]pyridin-7-yl)piperidine-4-carboxamides and analogs as GABAA receptor ligands)

RN 239799-72-5 CAPLUS

CN

Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-imidazol-2-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 239799-74-7 CAPLUS
CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-75-8 CAPLUS

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

REFERENCE COUNT:

● HCl

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

110 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1997:532189 CAPLUS

DOCUMENT NUMBER:

127:176434

TITLE:
INVENTOR(S):

Angiogenesis inhibiting pyridazinamines

Stokbboekx, Raymond Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel

Gerebernus Maria; Tuman, Robert W.

PATENT ASSIGNEE(S):

Janssen Pharmaceutica N.V., Neth.; Stokbroekx, Raymond

Antoine; Van Der Aa, Marcel Jozef Maria; Willems, Marc; Meerpoel, Lieven; Luyckx, Marcel Gerebernus

Maria; Tuman, Robert W. PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT:

: 1

PATENT INFORMATION:

```
KIND
                                   DATE
                                                       APPLICATION NO.
                                                                             DATE
      PATENT NO.
                                                                             19970114
                                   19970724
                                                       WO 1997-EP201
      WO 9726258
                            Α1
          W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
                MR, NE, SN, TD, TG
                                                       CA 1997-2237273
                                                                             19970114
      CA 2237273
                             AΑ
                                    19970724
                                                                             19970114
      AU 9714439
                                    19970811
                                                       AU 1997-14439
                             A1
      AU 717744
                                    20000330
                             B2
                                                       ZA 1997-288
                                                                             19970114
                                    19980714
      ZA 9700288
                             Α
                                                       EP 1997-901059
                                                                             19970114
      EP 876366
                             A2
                                    19981111
      EP 876366
                             В1
                                    20010725
                AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
                SI, LT, LV, FI, RO
                                    19990217
                                                       CN 1997-191705
                                                                             19970114
      CN 1208415
                             Α
      CN 1104430
                             В
                                    20030402
                                                       JP 1997-524656
                                                                             19970114
      JP 2000503014
                                    20000314
                             Т2
                                                                             19970114
                                    20000726
                                                       IL 1997-124461
      IL 124461
                             A1
                                    20010815
                                                       AT 1997-901059
                                                                             19970114
      AT 203534
                             Ε
                                                       ES 1997-901059
                                                                             19970114
      ES 2162235
                             Т3
                                    20011216
                                                       PT 1997-97901059 19970114
      PT 876366
                             T
                                    20020130
                                                       TW 1997-86100703 19970123
      TW 480256
                             В
                                    20020321
                                    19980915
                                                       NO 1998-2037
                                                                             19980505
      NO 9802037
                             Α
                                                                             19980709
      US 5985878
                             Α
                                    19991116
                                                       US 1998-119075
                                                                             20011016
                                                       GR 2001-401770
      GR 3036900
                             Т3
                                    20020131
                                                                             19960115
                                                   EP 1996-200085
                                                                        Α
PRIORITY APPLN. INFO.:
                                                   EP 1997-901059
                                                                         Α
                                                                             19970114
                                                   WO 1997-EP201
                                                                         W
                                                                             19970114
```

Liu

OTHER SOURCE(S): MARPAT 127:176434

ED Entered STN: 20 Aug 1997

GΙ

$$\begin{array}{c|c}
R^2 & R^3 \\
N & N = N
\end{array}$$

Title compds. I [R1 = H, alkyl, alkoxy, alkylthio, amino, aryl, cycloalkyl, CH2OH, CH2OCH2Ph; R2, R3 = H; R2R3 = CH:CHCH:CH; NR4R5 = heterocyclic] were prepd. Thus, 3-chloro-6-methylpyridazine was treated with SOC12 and HN:CHMeNH2.HCl to give the chloropyridazinylthiadiazole which was treated with 1-(3-trifluoromethylphenyl)piperazine to give I [R1 = Me, R2, R3 = H, NR4R5 = 4-(3-trifluoromethylphenyl)piperazino]. This compd. had an in vitro angiogenesis inhibiting IC50 of 0.3 nM.

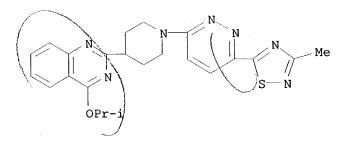
IT 193956-99-9P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

RN 193956-99-9 CAPLUS

CN Quinazoline, 4-(1-methylethoxy)-2-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1997:698528 CAPLUS

DOCUMENT NUMBER: 128:43409

TITLE: In vitro characterization of potency, affinity and

selectivity of H3-antagonists: from thioperamide to

thioperamide unrelated imidazole derivatives

AUTHOR(S): Barocelli, Elisabetta; Ballabeni, Vigilio; Caretta,

Antonio; Bertoni, Simona; Bordi, Fabrizio; Rivara, Silvia; Silva, Claudia; Mor, Marco; Impicciatore,

Mariannina

CORPORATE SOURCE: Istituto di Farmacologia e Farmacognosia, Facolta di

Farmacia, Universita degli Studi di Parma, Parma,

43100, Italy

SOURCE: Farmaco (1997), 52(6-7), 463-469

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Societa Chimica Italiana

DOCUMENT TYPE: Journal LANGUAGE: English

ED Entered STN: 06 Nov 1997

This paper summarizes the findings obtained for three different series of original compds. designed as potential H3-antagonists starting from thioperamide structure. The compds. were tested in functional and binding assays to est. their potency, affinity and selectivity for histamine H3 receptors. Among them, many non-thiourea/isothiourea derivs. acted as selective H3 competitive antagonists and, particularly, 4(5)-[2-[4(5)-cyclohexylimidazol-2-ylthio]ethyl] imidazole proved to be the most potent H3 blocker vs. (R)-.alpha.-methylhistamine in elec.-stimulated ileum. This imidazole deriv., devoid of thiourea dependent toxic effects, with high affinity displaced biphasically [3H]-N.alpha.-methylhistamine bound to rat brain H3 sites. Thus, such compd. could be proposed as the prototype mol. for the development of new non-thiourea/isothiourea H3-antagonists and as exptl. tool to explore the intriguing question of H3 receptor heterogeneity.

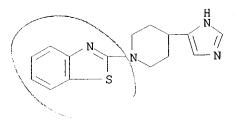
IT 146365-89-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study) (affinity, potency and selectivity of thioperamide and imidazole

(affinity, potency and selectivity of thioperamide and imidazore derivs. as H3-antagonists)

RN 146365-89-1 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2004 ACS on STN ANSWER 15 OF 38

CCESSION NUMBER:

1996:632188 CAPLUS

DOCUMENT NUMBER:

125:275893

TITLE:

Preparation of 1-(benzimidazolyl)piperidine 5-HT4

and/or 5-HT3 receptor antagonists

INVENTOR(S):

Even, Luc; Jegham, Samir; Defosse, Gerard; Aletru,

Michel

PATENT ASSIGNEE(S):

Synthelabo S. A., Fr. Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE		APPLICATION NO.	DATE	
EP 732334	A1	19960918		EP 1996-400452	19960304	
R: AT, BE, C	CH, DE	, DK, ES,	FI, F	R, GB, GR, IE, IT,		, PT, SE
FR 2731708	A1	19960920		FR 1995-2863	19950313	
FR 2731708	В1	19970430				
ZA 9601994	Α	19960903		ZA 1996-1994	19960312	
CA 2171579	AA	19960914		CA 1996-2171579	19960312	
NO 9601000	Α	19960916		NO 1996-1000	19960312	
AU 9648008	A1	19960926		AU 1996-48008	19960312	
JP 08269058	A2	19961015		JP 1996-54560	19960312	
CN 1140174	Α	19970115		CN 1996-107315	19960312	
PRIORITY APPLN. INFO.:			• FR	1995-2863	19950313	
OTHER SOURCE(S):	MA	RPAT 125:2	75893			

EDEntered STN: 26 Oct 1996

GΙ

The title compds. (I; R1 = C1, F, Me, MeO, NH2; R2, R3 = H, Me; X = O, AΒ CH2) (e.g., R1 = 8-C1, R2 = R3 = H, X = O, hydrochloride salt; m.p. 275.degree.), useful as 5-HT4 and/or 5-HT3 receptor antagonists (e.g., I demonstrate a IC50 of 0.02-2 .mu.M against [3H]-GR 113808), are prepd.

182264-50-2P 182264-52-4P 182264-54-6P IT 182264-56-8P 182264-57-9P 182264-59-1P 182264-61-5P 182264-63-7P 182264-65-9P 182264-67-1P 182264-69-3P 182264-70-6P 182264-73-9P 182264-75-1P 182264-77-3P

182264-80-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 1-(benzimidazolyl)piperidine 5-HT4 and/or 5-HT3 receptor antagonists)

182264-50-2 CAPLUS RN

Imidazo[1,5,4-de][1,4] benzoxazine, 8-chloro-4,5-dihydro-4-methyl-2-[4-(5-dihydro-4-methyl-2-[CN

methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 182264-52-4 CAPLUS

Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-2-[4-(1H-imidazol-CN 4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

182264-54-6 CAPLUS

RNCN Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 182264-56-8 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-chloro-4,5-dihydro-2-[4-(5-methyl-1Himidazol-4-yl)-1-piperidinyl]-, monohydrochloride, (S)- (9CI) (CA INDEX

NAME)

HCl

RN 182264-57-9 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 8-fluoro-5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

RN 182264-59-1 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 8-fluoro-5,6-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

RN 182264-61-5 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazin-8-amine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 182264-63-7 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazin-8-amine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 182264-65-9 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 182264-67-1 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 182264-69-3 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl- (9CI) (CA INDEX NAME)

RN 182264-70-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 182264-73-9 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4S)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 182264-72-8 CMF C18 H20 F N5 O

Absolute stereochemistry. Rotation (+).

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN

CN

182264-75-1 CAPLUS

Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 182264-77-3 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

182264-80-8 CAPLUS

Imidazo[1,5,4-de][1,4]benzoxazine, 8-fluoro-4,5-dihydro-2-[4-(1H-imidazol-4-y1)-1-piperidinyl]-4-methyl-, (4R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

1 CM

RN

CN

182264-79-5 CRN CMF C18 H20 F N5 O

Absolute stereochemistry. Rotation (-).

CM

110-16-7 CRN CMF C4 H4 O4

Double bond geometry as shown.

ΙT 182265-01-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of 1-(benzimidazolyl)piperidine 5-HT4 and/or 5-HT3 receptor

antagonists) 182265-01-6 CAPLUS

Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1Himidazol-4-yl)-1-piperidinyl]-8-nitro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

CN

L10 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:407459 CAPLUS

DOCUMENT NUMBER: 125:96333

TITLE: Assay and purity control of new serotonergic

anxiolytics by HPTLC and scanning densitometry

Farina, Anna; Doldo, Antonio; Cotichini, Viviana;

Rajevic, Maya

CORPORATE SOURCE: Lab. Chimica Farmaco, Ist. Sup. Sanita, Rome, 00161,

Italy

SOURCE: Journal of Planar Chromatography--Modern TLC (1996),

9(3), 185-188

CODEN: JPCTE5; ISSN: 0933-4173

PUBLISHER: Research Institute for Medicinal Plants

DOCUMENT TYPE: Journal LANGUAGE: English ED Entered STN: 13 Jul 1996

AB A high-performance TLC (HPTLC) method with densitometric UV detection was used for the detn. and purity control of serotonergic anxiolytics. With silica gel as adsorbent and 3 different mobile phases, all the potential impurities were well sepd. from the main components and from each other. Detection limits of a few nanograms were obtained at a signal-to-noise

ratio 3:1. The relative std. deviation values for the main components and related impurities were between 2.2 and 3.4%. The results obtained were compared with those obtained by a previously established HPLC method.

IT **178948-99-7**

RN

AUTHOR(S):

RL: ANT (Analyte); ANST (Analytical study)

(purity control of serotonergic anxiolytics by HPTLC and densitometry)

178948-99-7 CAPLUS

CN Pyrimidine, 2,2'-(1,4-piperidinediyl)bis- (9CI) (CA INDEX NAME)

ANSWER 17 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1995:557370 CAPLUS

DOCUMENT NUMBER:

122:290862

TITLE:

Derivatives of imidazol-4-ylpiperidine with 5-HT3 and 5-HT4 activity, their preparation, and their use in

INVENTOR(S):

Jegham, Samir; Defosse, Gerard; Purcell, Thomas Andrew; Even, Luc

PATENT ASSIGNEE(S): SOURCE:

Synthelabo S. A., Fr. Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT NO.	KIND	DATE	APPLICATION NO. DATE	
EP		A1		EP 1994-402114 19940923	
	R: AT, BE	CH, DE	, DK, ES,	FR, GB, GR, IE, IT, LI, LU, MC, NL, PT	, SE
FR	2710915	A1	19950414	FR 1993-11771 19931004	
FR	2710915	В1	19951124		
CA	2133491	AA	19950405	CA 1994-2133491 19941003	
NO	9403682	Α	19950405		
FI	9404600	Α	19950405	FI 1994-4600 19941003	
ΑU	9474329	A1	19950413	AU 1994-74329 19941003	
JΡ	07179466	A2	19950718	JP 1994-238914 19941003	
ZA	9407710	Α	19950810	ZA 1994-7710 19941003	
CN	1109471	А	19951004	CN 1994-117012 19941003	
HU	71120	A2	19951128	HU 1994-2832 19941003	
	5589476	А	19961231	US 1994-317661 19941003	
	APPLN. INE	· · ·		FR 1993-11771 19931004	
	OURCE(S):		SREACT 12	::290862; MARPAT 122:290862	

PRIO OTHER SOURCE (

Entered STN: 18 May 1995

GI

Title compds. I [R1 = H, straight or branched C1-6 alkyl; A = 9 specific AΒ tricyclic heterocyclic radicals with an optional phenylmethyl substituent] and their pharmaceutical salts are claimed. The compds. are ligands of 5-HT3 and 5-HT4 receptors, and have a variety of potential uses involving CNS and cardiovascular activities. For example, redn. of 8-quinolinamine with Na in EtOH gave the 1,2,3,4-tetrahydro deriv., which was cyclized

with urea to give dihydroimidazoquinolinone II. Treatment of II with POCl3 converted the carbonyl to the corresponding unsatd. chloride, which reacted with 4-(lH-imidazol-4-yl)piperidine in isoamyl alc. at 120.degree. to give title compd. III. The IC50 values of more active I for inhibition of [3H]-quipazine binding to rat cerebral 5-HT3 receptors were 0.01-10 nM. I also had IC50 of 0.02-2 .mu.M for inhibition of specific binding of [3H]-GR118808 to guinea pig 5-HT4 receptors.

163120-16-9P 163120-26-1P 163120-32-9P 163120-34-1P 163120-36-3P 163120-38-5P 163120-40-9P 163120-42-1P 163120-44-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor ligands)

RN 163120-16-9 CAPLUS

CN

Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1Himidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-26-1 CAPLUS

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-32-9 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-34-1 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-36-3 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-38-5 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-40-9 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-42-1 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

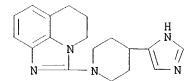
Absolute stereochemistry.

RN 163120-44-3 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

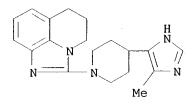
Absolute stereochemistry.

```
IT
     163120-06-7P 163120-07-8P 163120-08-9P
     163120-09-0P 163120-11-4P 163120-13-6P
     163120-15-8P 163120-17-0P 163120-19-2P
     163120-21-6P 163120-22-7P 163120-23-8P
     163120-25-0P 163120-27-2P 163120-29-4P
     163120-30-7P 163120-31-8P 163120-33-0P
     163120-35-2P 163120-37-4P 163120-39-6P
     163120-41-0P 163120-43-2P 163120-45-4P
     163120-46-5P 163120-47-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor
        ligands)
RN
     163120-06-7 CAPLUS
CN
     4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-imidazol-4-yl)
     piperidinyl] - (9CI) (CA INDEX NAME)
```



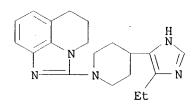
RN 163120-07-8 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



RN 163120-08-9 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-5,6-dihydro- (9CI) (CA INDEX NAME)



RN 163120-09-0 CAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-11-4 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-10-3 CMF C17 H19 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-13-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-12-5 CMF C18 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-15-8 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-14-7

CMF C18 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-17-0 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-16-9 CMF C19 H23 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-19-2 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-18-1 CMF C18 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-21-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-20-5 CMF C19 H23 N5 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 163120-22-7 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-23-8 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-25-0 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-(phenylmethyl)-, (4S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-24-9 CMF C25 H27 N5 O

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-27-2 CAPLUS

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-26-1 CMF C17 H20 N6

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 163120-29-4 CAPLUS

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-

yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-28-3 CMF C18 H22 N6

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 163120-30-7 CAPLUS

CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-31-8 CAPLUS

CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-33-0 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-

4-y1)-1-piperidiny1]-5-methyl-, (5S)-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-32-9 CMF C19 H24 N6

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-35-2 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (5S)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-34-1 CMF C20 H26 N6

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-37-4 CAPLUS

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (5S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-36-3 CMF C26 H30 N6

Absolute stereochemistry.

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-39-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-38-5 CMF C18 H21 N5 O

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-41-0 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-40-9 CMF C18 H21 N5 O

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-43-2 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-42-1 CMF C19 H23 N5 O

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 Double bond geometry as shown.

RN 163120-45-4 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-44-3 CMF C19 H23 N5 O

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 163120-46-5 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-47-6 CAPLUS

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 18 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:989625 CAPLUS

DOCUMENT NUMBER: 124:175944

TITLE: Heteroarylaminoethyl and heteroarylthioethylimidazoles

. Synthesis and H3-receptor affinity

AUTHOR(S): Plazzi, P. V.; Bordi, F.; Mor, M.; Silva, C.; Morini,

G.; Caretta, A.; Barocelli, E.; Vitali, T.

CORPORATE SOURCE: Dip. Farmaceutico, Univ. Studi Parma, Parma, 43100,

Italy

SOURCE: European Journal of Medicinal Chemistry (1995),

30(11), 881-9

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

ED Entered STN: 19 Dec 1995

The synthesis of new H3-receptor antagonists, 4-(2-heteroarylaminoethyl)-and 4-(2-heteroarylthioethyl)imidazoles and their H3-receptor affinity obtained from competitive binding curves vs [3H]-N.alpha.-methylhistamine ([3H]NAMHA) on rat brain cortex membranes are described. These compds. are derived from structural modulations of thioperamide and were synthesized in order to study binding interactions with H3-receptors and find alternative lead compds. with H3-receptor antagonist activity. The

new compds. differ from thioperamide by replacing the N-cyclohexylcarbothioamide moiety of thioperamide by a benzothiazole and the piperidine ring by more flexible aminoethyl and thioethyl chains in order to lower the excessive rigidity and to test the importance of the tertiary piperidine nitrogen, and replacing the benzothiazole moiety by other heterocyclic nuclei endowed with different lipophilic, steric and hydrogen-bonding features. Some of the compds. tested showed good affinity for central H3-receptors (pKi range: 5.89-7.96) and can be considered as lead compds. for further optimization studies. The most lipophilic compds. showed higher affinities among benzo-condensed compds., while imidazolylthioethylimidazoles were more potent in displacing [3H]NAMHA than thiazolylthioethyl- and thiazolylaminoethylimidazoles which suggests an interaction between the annular NH of the imidazolylthioethyl moiety and the binding site.

IT 146365-89-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and H3-receptor affinity of heteroarylamino- and heteroarylthioethylimidazoles)

146365-89-1 CAPLUS

Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

O ANSWER 19 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

CCESSION NUMBER:

1996:495636 CAPLUS

DOCUMENT NUMBER:

125:211804

TITLE:

RN

CN

Structural analogs of thioperamide: pharmacological

evaluation of new benzothiazole derivatives at

posishonal biotemine personne delivatives at

peripheral histamine receptor subtypes in guinea pigs Barocelli, E.; Ballabeni, V.; Chiavarini, M.; Caretta,

A.; More, M.; Silva, C.; Impicciatore, M.

CORPORATE SOURCE:

Inst. Pharmacology, Pharmacognosy, Dep. Pharmaceutical

Chem., Coll. Pharm., Univ. Parma, Parma, Italy Pharmaceutical Sciences (1995), 1(4), 177-180

CODEN: PHSCFB; ISSN: 1356-6881

PUBLISHER:

AUTHOR(S):

SOURCE:

ED

AΒ

Royal Pharmaceutical Society of Great Britain

DOCUMENT TYPE: Journal LANGUAGE: English

20 Aug 1996

Entered STN:

New thioperamide analogs, derived by the replacement of the cyclohexylcarbothioamide portion with the benzothiazole nucleus, were tested in guinea-pig isolated prepns. to assess their H1-, H2- and H3-blocking actions. Various substituents were inserted in position 6 of the benzothiazole ring to investigate whether changes of physicochem. properties of the heteroarom. structure could affect drug-receptor interaction. A selective H3 antagonism was exhibited by the unsubstituted benzothiazole deriv. which showed a substantial fall in potency (pA2=7.07) with respect to thioperamide (pA2=9.04). The insertion of small substituents (-NO2, -Br, -CH3) caused only marginal variations in the H3-antagonistic activity, while the introduction of larger groups (-C4H9, -OC4H9, -COC6H5, -COOC2H5) markedly hampered drug-receptor interaction.

The authors conclude that the steric hindrance could account for the low H3-antagonistic activity of the new thioperamide benzothiazole derivs.

146365-89-1 156246-07-0 156246-08-1

156246-09-2 156246-10-5 156246-11-6

156246-12-7 156246-13-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. evaluation of new benzothiazole thioperamide analogs as antagonists at peripheral histamine receptor subtypes in guinea pigs)

RN 146365-89-1 CAPLUS CN Benzothiazole, 2-[4-

ΙT

Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 156246-07-0 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) (CA INDEX NAME)

RN 156246-08-1 CAPLUS

CN Benzothiazole, 6-bromo-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 156246-09-2 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-methyl- (9CI) (CA INDEX NAME)

RN 156246-10-5 CAPLUS

CN Benzothiazole, 6-butoxy-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 156246-11-6 CAPLUS

CN Benzothiazole, 6-butyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 156246-12-7 CAPLUS

CN Methanone, [2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-benzothiazolyl]phenyl-(9CI) (CA INDEX NAME)

RN 156246-13-8 CAPLUS

CN 6-Benzothiazolecarboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1994:435609 CAPLUS

DOCUMENT NUMBER:

121:35609

TITLE:

SOURCE:

LANGUAGE:

INVENTOR(S):

Preparation of 2-[4-(4-imidazolyl)piperidino]benzimida

zoles as serotoninergic receptor antagonists

Jegham, Samir; Defosse, Gerard; Purcell, Thomas

PATENT ASSIGNEE(S):

Synthelabo S. A., Fr. Eur. Pat. Appl., 13 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	I	APPLICATION	NO.	DATE			
EP 591026	A1	19940406	- H	CP 1993-4022	80	19930920			
R: AT,	BE, CH, DE	, DK, ES,	FR, GB,	GR, IE, IT	, LI,	LU, MC,	NL,	PT,	SE
FR 2696176	A1	19940401	I	R 1992-1155	0	19920928			
FR 2696176	B1	19941110							
CA 2107060	AA	19940329	. (A 1993-2107	060	19930927			
FI 9304220	A	19940329	I	I 1993-4220		19930927			
NO 9303434	Α	19940329	1	IO 1993-3434		19930927			
AU 9348605	A1	19940414	I	U 1993-4860	5	19930927			
AU 659033	В2	19950504							
ZA 9307155	A	19940523	2	A 1993-7155		19930927			
CN 1087340	Α	19940601		N 1993-1180	81	19930927			
HU 65396	A2	19940628	ŀ	U 1993-2726		19930927			
JP 06192254	A2	19940712		P 1993-2395	68	19930927			
US 5418241	A	19950523	Ţ	s 1993-1270	58	19930927			
PL 172852	В1	19971231	F	L 1993-3005	14	19930927			
ORITY APPLN. I	NFO.:		FR 1	992-11550		19920928			
mn									

OTHER SOURCE(S):

MARPAT 121:35609

Entered STN: 23 Jul 1994

ED GΙ

$$\begin{array}{c|c}
z \\
\hline
 & N \\
 & N \\
\hline
 & N \\
 &$$

AB Title compds. (I; R1,R2 = H, alkyl; Z,Z1 = H, C1, OH, NH2, alkyl, alkoxy, etc.) were prepd. Thus, 2-chloro-1-(1-methylethyl)-7-phenylmethoxy-1H-benzimidazole (prepn. given) was condensed with 4-(1H-imidazol-4-yl)piperidine to give title compd. II. I gave .gtoreq.50% inhibition of serotonin-induced bradycardia at 10.mu.g/kg i.v. in rats.

155596-41-1P 155596-42-2P 155596-43-3P 155596-45-5P 155596-47-7P 155596-49-9P 155596-50-2P 155596-51-3P 155596-53-5P 155596-54-6P 155596-55-7P 155596-61-5P 155596-62-6P 155596-64-8P 155596-66-0P

155596-67-1P 155596-68-2P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as serotoninergic receptor antagonist)

RN 155596-41-1 CAPLUS

CN 1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-40-0 CMF C18 H22 C1 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-42-2 CAPLUS

CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-

methylethyl) - (9CI) (CA INDEX NAME)

155596-43-3 CAPLUS

RN CN

RN

1H-Benzimidazol-4-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

155596-45-5 CAPLUS

CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-44-4 CMF C19 H25 N5 O

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-47-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-46-6

CMF C19 H25 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-49-9 CAPLUS CN 1H-Benzimidazole, 2-

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-48-8 CMF C19 H25 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-50-2 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-51-3 CAPLUS

CN

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-53-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-52-4 CMF C26 H39 N5 O

Me- (CH₂) 7-0
$$i$$
-Pr N N N

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-54-6 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 155596-55-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-54-6 CMF C25 H29 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-57-9 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-56-8 CMF C21 H27 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-59-1 CAPLUS

CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-58-0 CMF C19 H24 C1 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-60-4 CAPLUS

CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-61-5 CAPLUS

CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-62-6 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)

Me-(CH₂)7-0
$$i$$
-Pr N N N Me

RN 155596-64-8 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7 CMF C25 H35 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-66-0 CAPLUS

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-65-9

CMF C27 H31 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 155596-67-1 CAPLUS

CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & H & H \\ N & N & Me \end{array}$$

RN 155596-68-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L10 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: DOCUMENT NUMBER:

1994:483181 CAPLUS 121:83181

TITLE:

QSAR study on H3-receptor affinity of benzothiazole

derivatives of thioperamide

AUTHOR(S):

Bordi, Fabrizio; Mor, Marco; Morini, Giovanni; Plazzi, Pier Vincenzo; Silva, Claudia; Vitali, Tullo; Caretta,

Antonio

CORPORATE SOURCE:

Fac. Farm., Univ. Parma, Parma, 43100, Italy

SOURCE:

Farmaco (1994), 49(3), 153-66 CODEN: FRMCE8; ISSN: 0014-827X

DOCUMENT TYPE:

LANGUAGE:

Journal

Ι

ED Entered STN:

English 20 Aug 1994

GΙ

AB Starting from the structure of thioperamide, a known H3-antagonist, a new series of compds. I (R = H, NO2, Br, etc.) with a benzothiazole nucleus instead of the cyclohexylcarbothioamide moiety was synthesized. Various substituents, selected by exptl. design, were introduced in position 6 of the benzothiazole nucleus, in order to change its physico-chem. characteristics. The lipophilicity of the synthesized compds. was measured by means of RP-HPLC, and their H3-receptor affinity was evaluated by competitive binding assays on rat cortex synaptosomes, with the labeled ligand N.alpha.-[3H]methylhistamine. A QSAR anal. was performed on the exptl. data, using also substituent consts. taken from the literature. The newly synthesized compds. showed lower H3-affinities than thioperamide; quant. structure-activity relationships, described by models obtained with PLS and MRS techniques, were obsd. among benzothiazole derivs. According to these relationships, any attempt to improve the potency of these compds. should involve the substitution of the benzothiazole moiety with less bulky and/or more flexible structures, which should also be less lipophilic and allow better electronic interactions with the binding site. 1-(Benzothiazol-2-yl)-4-[(1H)imidazol-4-yl]piperidine represents a limit structure for H3-activity, since it seems impossible to improve its affinity by means of substitution in the studied position of the benzothiazole nucleus, as shown by predictions performed by a PLS model.

ΙT 146365-89-1P 156246-07-0P 156246-08-1P

156246-09-2P 156246-10-5P 156246-11-6P

156246-12-7P 156246-13-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. and H3-receptor affinity of)

RN 146365-89-1 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) NAME)

156246-07-0 CAPLUS RN

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-nitro- (9CI) INDEX NAME)

RN 156246-08-1 CAPLUS

CN Benzothiazole, 6-bromo-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN156246-09-2 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-methyl- (9CI) INDEX NAME)

Me

RN 156246-10-5 CAPLUS

CN Benzothiazole, 6-butoxy-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) INDEX NAME)

RN 156246-11-6 CAPLUS

Benzothiazole, 6-butyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA CN INDEX NAME)

RN 156246-12-7 CAPLUS

CN Methanone, [2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-6-benzothiazolyl]phenyl-(9CI) (CA INDEX NAME)

RN 156246-13-8 CAPLUS

6-Benzothiazolecarboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, CN ethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1992:490317 CAPLUS

DOCUMENT NUMBER: 117:90317

TITLE: Preparation of 2,4-diaminoquinazolines for enhancing

antitumor activity

INVENTOR(S): Coe, Jotham Wadsworth; Fliri, Anton Franz; Kaneko,

Takushi; Larson, Eric Robert

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	K	IND DAT	E .	APPLICATION NO.	DATE
WO 9207844		A1 1992	20514	WO 1991-US7254	19911010
W: AU,	BR, CA	, CS, DE,	FI, HU,	JP, KR, NO, PL, SU,	US
				GB, GR, IT, LU, NL,	

CA	209521	.3	AA	19920507		CA 1991-209	5213 19911010
AU	919059	2	A1	19920526		AU 1991-905	92 19911010
AU	644035		B2	19931202			
EP	556310		A1	19930825		EP 1992-900	750 19911010
EP	556310		B1	19950705			
	R: A	T, BE	, CH, Di	E, DK, ES,	FR, GE	B, GR, IT, L	I, LU, NL, SE
JP	055072	90	Т2	19931021		JP 1992-501	
HU	64533		A2	19940128		ни 1993-131	.4 19911010
BR	910707	0	Α	19940531		BR 1991-707	0 19911010
ES	207486	7	Т3	19950916		ES 1992-900	750 19911010
CN	106141	1	Α	19920527		CN 1991-108	1479 19911105
ZA	910876	7	A	19930505		ZA 1991-876	7 19911105
NO	930163	5	Α	19930505		NO 1993-163	19930505
US	544406	2	А	19950822		US 1993-500	147 19930505
PRIORITY	Y APPLN	. INFO	o.:		US	1990-609986	
						1991-US7254	
A	ottoan (a			nnnm 117 c			23311010

OTHER SOURCE(S): MARPAT 117:90317

ED Entered STN: 05 Sep 1992

GI

AΒ Title compds. [I; X, X1 = H, alkyl, alkoxy, Br, iodo, NO2, amino, Me2S+, aminomethyl, MeS, HOCH2, (substituted) benzoylamino, alkanoylamino, 4-methylpiperazino, morpholino, piperazino, pyrrolidino, etc.; X2 = H, alkyl, alkoxy; XX1 = ethylenedioxy, methylenedioxy; R1 = alkoxyalkyl, cycloalkyl, benzodioxan-2-ylmethyl; R2 = H, alkyl, PhCH2; R1R2 = (substituted) benzodiazepinyl, piperidino, decahydroisoquinol-2-yl, octahydroisoindol-2-yl, 1,2,3,4-tetrahydro-.beta.-carbol-2-yl; R3 = cycloalkyl, benzodioxan-2-ylmethyl, (substituted) aralkyl, pyridylalkyl, alkoxyalkyl, indolylalkyl, tetrahydronaphthyl, indenyl, naphthyl, etc.; R4 = H, alkyl; R3R4N = (substituted) tetrahydroisoquinolyl, piperidino, piperazino], were prepd. as p-glycoprotein inhibitors to reverse multidrug resistance (no data). Thus, 2,4-dichloro-6,7-dimethoxyquinazoline, 1,2,3,4-tetrahydro-6,7-dimethoxyisoquinoline, and Et3N were stirred $16\ h$ in dimethylacetamide to give 2-chloro-4-(1,2,3,4-tetrahydro-6,7dimethoxyisoquinol-2-yl)-6,7-dimethoxyquinazoline. The latter was heated with N-methyl-3,4-dimethoxyphenethylamine in ethoxyethoxyethanol to give title compd. II.

IT 142716-75-4P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as P-glycoprotein inhibitor)

RN

142716-75-4 CAPLUS
Quinazoline, 2,4-bis[4-(2-benzoxazolyl)-1-piperidinyl]-6,7-dimethoxy-CN (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1993:124534 CAPLUS

DOCUMENT NUMBER:

118:124534

TITLE:

Preparation of 2-(imidazolylpiperidino)benzimidazoles

and analogs as 5-HT receptor ligands

INVENTOR(S):

Jegham, Samir; Defosse, Gerard; Purcell, Thomas;

Schoemaker, Johannes

PATENT ASSIGNEE(S): SOURCE:

Synthelabo S. A., Fr. Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

1

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT NO.		KIND	DATE	APPLICATION NO. DATE	
			A1		EP 1992-400780 19920323	
EP	507650		В1	19960522		
	R: AT,	BE,	CH, DE	, DK, ES,	FR, GB, GR, IT, LI, LU, MC, NL, PT	, SE
FR	2674855		A1	19921009	FR 1991-4009 19910403	
FR	2674855			19940114		
AT	138375		E	19960615	AT 1992-400780 19920323	
CA			AA		CA 1992-2064924 19920402	
NO	9201281		Α	19921005		
AU	9213989		A1	19921008	AU 1992-13989 19920402	
AU	646332		В2	19940217		
CN	1065459		A	19921021	CN 1992-102327 19920402	
	05112563			19930507		
JP	07088378		В4	19950927		
			A2	19930528	HU 1992-1116 19920402	
US	5280030					
	Y APPLN.			13310110		
				RPAT 118:3		
	, ,				.21331	
ED Ent	tered STN	: 3	u mar 1	993		

GΙ

$$\begin{array}{c|c} R & & & \\ \hline & N & & \\ X & N & & \\ \hline & N & \\ &$$

AB Title compds. [I; R = H, F; R1 = H, (cyclo)alkyl; X = O, S, NR3; R3 = H, (cyclo)alkyl, Ph, pyridyl, etc.] were prepd. Thus, 1-(4-pyridyl)-1-propanone was converted in 2 steps to 2-amino-1-(4-pyridyl)-1-propanone which was cyclocondensed with KSCN and the product converted in 2 steps to 4-(5-methyl-1H-imidazol-4-yl)piperidine. The latter was condensed with 2-chloro-1-(1-methylethyl)-1H-benzimidazole (prepn. given) to give I (R = H, R1 = Me, X = NCHMe2). I gave .gtoreq. 50% inhibition of serotonin-induced bradycardia in rats at 10 .mu.g/kg i.v.

IT 146365-53-9P 146365-54-0P 146365-56-2P

146365-53-9P 146365-54-0P 146365-56-2P 146365-58-4P 146365-60-8P 146365-61-9P 146365-62-0P 146365-64-2P 146365-65-3P 146365-66-4P 146365-67-5P 146365-69-7P 146365-71-1P 146365-72-2P 146365-74-4P 146365-75-5P 146365-77-7P 146365-79-9P 146365-80-2P 146365-82-4P 146365-83-5P 146365-85-7P 146365-86-8P 146365-88-0P 146365-90-4P 146365-91-5P 146365-92-6P 146365-93-7P 146365-95-9P 146365-96-0P

146365-93-7P 146365-95-9P 146365-96-0P 146365-97-1P 146365-98-2P 146365-99-3P 146395-69-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as 5-HT receptor ligand)

RN 146365-53-9 CAPLUS CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-54-0 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 146365-56-2 CAPLUS

CN Benzothiazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-55-1 CMF C16 H18 N4 S

$$\begin{array}{c|c} & H \\ N \\ N \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-58-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3 CMF C21 H21 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-60-8 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-59-5 CMF C23 H33 N5

$$(CH_2)_{7}-Me \\ N \\ N \\ N$$

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-61-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)

RN 146365-62-0 CAPLUS

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-64-2 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-63-1 CMF C18 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-65-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 146365-66-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-67-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-69-7 CAPLUS CN 1H-Benzimidazole, 2-

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-68-6 CMF C18 H23 N5 O

$$\begin{array}{c|c} \text{MeO-CH}_2\text{-CH}_2 & \text{H} \\ \hline \\ N & N \\ \end{array}$$

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-71-1 CAPLUS

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-70-0 CMF C19 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-72-2 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-

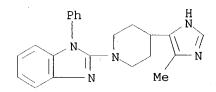
methylethyl) - (9CI) (CA INDEX NAME)

RN 146365-74-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-73-3 CMF C22 H23 N5



CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-75-5 CAPLUS

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-77-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-76-6 CMF C19 H25 N5

$$\begin{array}{c|c} n-Pr \\ \hline \\ N \\ N \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-79-9 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-78-8 CMF C20 H27 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-80-2 CAPLUS

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-82-4 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-81-3 CMF C24 H35 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-83-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-85-7 CAPLUS

CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6 CMF C19 H25 N5 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-86-8 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

RN 146365-88-0 CAPLUS

1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 146365-87-9 CMF C17 H21 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-90-4 CAPLUS

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-89-1 CMF C15 H16 N4 S

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-91-5 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-92-6 CAPLUS

CN Benzoxazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-93-7 CAPLUS

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-95-9 CAPLUS

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-94-8 CMF C19 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4

Double bond geometry as shown.

RN 146365-96-0 CAPLUS

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-(9CI) (CA INDEX NAME)

RN 146365-97-1 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-98-2 CAPLUS

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-99-3 CAPLUS

CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146395-69-9 CAPLUS

CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1991:247227 CAPLUS

DOCUMENT NUMBER:

114:247227

TITLE:

Studies on cardiotonic agents. V. Synthesis of

1-(6,7-dimethoxy-4-quinazolinyl)piperidine derivatives carrying various 5-membered heterocyclic rings at the

4-position

AUTHOR(S):

Nomoto, Yuji; Takai, Haruki; Hirata, Tadashi;

Teranishi, Masayuki; Ohno, Tetsuji; Kubo, Kazuhiro CORPORATE SOURCE:

Pharm. Res. Lab., Fuji, Kyowa Hakko Kogyo Co., Ltd.,

Nagaizumicho, 411, Japan

SOURCE:

Chemical & Pharmaceutical Bulletin (1991), 39(1),

86-90

CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE:

Journal English

LANGUAGE:

OTHER SOURCE(S):

CASREACT 114:247227

Entered STN: 28 Jun 1991

AB A series of 1-(6,7-dimethoxy-4-quinazolinyl)piperidines carrying various 5-membered heterocycles at the 4-position was synthesized and examd. for cardiotonic activity in anesthetized dogs. The (4-oxo-2-thioxo-3imidazolidinyl)amino derivs. showed the most potent inotropic activity. Marked loss of activity was obsd. in the 2,4-dihydro-3-thioxo-3H-1,2,4triazolyl, the 2,4-dihydro-3-oxo-3H-pyrazolyl, and the (2,3-dihydro-2-thioxo-3H-1,3,4-thiadiazol-5-yl)amino derivs. synthesis and structure-activity relationships are discussed.

ΤТ 130492-43-2P 133785-70-3P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and cardiotonic activity of)

RN 130492-43-2 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 2-[1-(6,7-dimethoxy-4-quinazolinyl)-4piperidinyl]-2,4-dihydro-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 133785-70-3 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 2-[1-(6,7-dimethoxy-4-quinazolinyl)-4-piperidinyl]-1,2-dihydro-5-(1-phenylethyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 19

1991:42809 CAPLUS

DOCUMENT NUMBER:

114:42809

TITLE:

4-Piperidinoquinazoline derivatievs as cardiotonics Teranishi, Masayuki; Nomoto, Yuji; Takai, Haruki;

Kubo, Kazuhiro; Ono, Tetsuji

PATENT ASSIGNEE(S):

Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE:

INVENTOR(S):

Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02193992	A2	19900731	JP 1989-13366	19890123
PRIORITY APPLN. INFO.	:		JP 1989-13366	19890123

OTHER SOURCE(S):

MARPAT 114:42809

ED Entered STN: 09 Feb 1991

GΙ

$$(CHR^{1}_{m}(CH_{2})_{n}Z)$$

$$R^{2}O$$

$$R^{3}O$$

$$X$$

$$X$$

$$X$$

$$X$$

$$X$$

$$X$$

$$X$$

$$Y$$

$$R^{5}$$

$$Q^{1}$$

$$R^{5}$$

$$Q^{2}$$

The title derivs. I [R1 - R3 = H, lower alkyl; Z = Q, Q1, Q2; R4 = H, (un)substituted lower alkyl, lower alkenyl, aralkyl, lower alkanoyl; R5 = H, lower alkyl; X = O, S, NR4; m = 0, 1; n = 0-4; provided that R4, R5 = any group other than H when X = O and m = n = O] and their pharmacol. acceptable salts are prepd. A mixt. of 1-(6,7-dimethoxyquinazolin-4-yl)-4-piperidinone 3.0, H2NCH2CH2NH2 6.5 g, and MeOH 30 mL was stirred at room temp. for 10 min, 4.9 g NaBH4 was gradually added, then the reaction mixt. was stirred for 2 h to give 2.3 g 4-.beta.-aminoethyl-1-(6,7-dimethoxyquinazolin-4-yl)piperidine (II). A mixt. of II 1.0 g, Et3N 0.7 g, CS2 1.0 g, and EtOH 5 mL was stirred under reflux for 15 h to give 1.0 g I (R2 = R3 = Me, R5 = H, Z = Q1, X = S, Y = NH, m = n = 0) (III). At 0.3 mg/kg i.v. in dogs, III increased myocardiac contractility by 42.7.+-.1.1% max. (percentage increase in dP/dt of light ventricular pressure), vs. 27.5.+-.11.7% for 1-(6,7-dimethoxyquinazolin-4-yl)-4-(N-butylcarbamoylamino)piperidine.

IT 130819-06-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as cardiotonic)

RN 130819-06-6 CAPLUS

CN 2H-Imidazol-2-one, 1-[1-(6,7-dimethoxy-4-quinazolinyl)-4-piperidinyl]-1,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

1990:612010 CAPLUS

DOCUMENT NUMBER:

TITLE:

Preparation of benzodiazine compounds as cardiotonics

INVENTOR(S):

Nomoto, Yuji; Takai, Haruki; Ono, Tetsuji; Kubo,

Kazuhiro

PATENT ASSIGNEE(S):

SOURCE:

Kyowa Hakko Kogyo Co., Ltd., Japan

Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

LANGUAGE:

GI

Patent Japanese

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02167277	A2	19900627	JP 1989-153222	19890615
PRIORITY APPLN. INFO.	:	JP	1988-234517	19880919
OTHER SOURCE(S):	MA	RPAT 113:212010		
ED Entered STN: 08	Dec 1	990		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Benzodiazine [I; R,R1 = alkyl; A:B = CH:N, N:CR2 wherein R2 = H, alkyl; X = CH, N; Z = Q, Q1, Q2, etc. wherein W = O, S; R3-R8 = (CH2)3-4; m = O-2; n = 0, 1] and their pharmaceutically acceptable salts are prepd. Thiosemicarbazide II (prepn. given) was dissolved in HCO2H and the soln. heated 4 h at 100.degree. to give 74% III, which increased the heart beat by $(3.7 \cdot +-. 1.2)$ % and lowered the blood pressure by $(15.1 \cdot +-. 4.5)$ % at 0.15 mg/kg i.v. in dogs. Also prepd. and tested were 28 addnl. I.

IT 130492-43-2P 130492-44-3P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of, as cardiotonic)

130492-43-2 CAPLUS RN

CN 3H-1,2,4-Triazole-3-thione, 2-[1-(6,7-dimethoxy-4-quinazolinyl)-4piperidinyl]-2,4-dihydro-5-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 130492-44-3 CAPLUS

CN 3H-1,2,4-Triazole-3-thione, 2-[1-(6,7-dimethoxy-4-quinazolinyl)-4piperidinyl]-2,4-dihydro-5-(1-methylpropyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 27 OF 38 USPATFULL on STN

ACCESSION NUMBER:

2004:39324 USPATFULL

TITLE:

Benzimidazole derivatives, preparation and therapeutic

use thereof

INVENTOR(S):

Barth, Francis, Saint Georges D'Orques, FRANCE Bichon, Daniel, Montpellier, FRANCE

Bolkenius, Frank, Kehl, GERMANY, FEDERAL REPUBLIC OF

Van Dorsselaer, Viviane, Strasbourg, FRANCE

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 2004029866 US 2003-432672 WO 2001-FR3667	A1 A1	20040212 20030523 20011121	(10)
	NUMBER	DA	TE	
PRIORITY INFORMATION:	FR 2000-15141 FR 2001-6157	2000 2001		

```
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        APPLICATION
LEGAL REPRESENTATIVE:
                        FINNEGAN, HENDERSON, FARABOW, GARRETT & DUNNER, LLP,
                        1300 I STREET, NW, WASHINGTON, DC, 20005
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
                        1
LINE COUNT:
                        1448
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
       The invention relates to benzimidazole derivatives of general formula
             ##STR1##
       in which
       X represents a nitrogen atom or a carbon atom;
       and when X represents a nitrogen atom
       R3 represents in particular a hydrogen atom or a (C1-C4)alkyl group,
       R4 represents in particular a hydrogen atom; a (C1-C6)alkyl;
       (C3-C7) cycloalkyl; 4-piperidyl; --(CH.sub.2).sub.p--NR5R6;
       --(CH.sub.2).sub.p--CONR5R6; --CO--(CH.sub.2).sub.p--NR5R6;
       --(CH.sub.2).sub.p-phenyl; --(CH.sub.2).sub.p-morpholinyl;
       -- (CH.sub.2).sub.p-pyrrolidinyl; -- (CH.sub.2).sub.p-
       tetrahydroisoquinoline; -- (CH.sub.2).sub.p-heteroaryl;
       heteroarylcarbonyl; phenylcarbonyl; (C1-C6) alkylcarbonyl;
       -- (CH.sub.2).sub.p--COOR'; or phenylsulphonyl group;
       and when X represents a carbon atom
       R3 represents a hydrogen atom; a group --NR5R6; --NHCOR7; --CONHR5;
       --COR7; --NHCONH.sub.2; --OH or --CH.sub.2OH,
       R4 represents in particular a hydrogen atom; an optionally substituted
       group --(CH.sub.2).sub.p-phenyl; a group --(CH.sub.2).sub.p-heteroaryl;
       or a group -- (CH.sub.2).sub.tNR7R8.
       Preparation process and therapeutic application.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
   429689-45-2P, 2-[4-(5-Methyl-1H-imidazol-4-yl)piperidin-1-yl]-5,6-
      dihydroimidazo[4,5,1-jk][1,4]benzodiazepin-7(4H)-one
        (drug candidate; prepn. of benzimidazole derivs. as PARP inhibitors)
     429689-45-2 USPATFULL
RN
CN
     Imidazo[4,5,1-jk][1,4] benzodiazepin-7(4H)-one, 5,6-dihydro-2-[4-(5-methyl-
```

1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 38 USPATFULL on STN

ACCESSION NUMBER:

2003:289134 USPATFULL

TITLE:

Benzimidazole derivatives, preparation and therapeutic

use thereof

INVENTOR(S):

Barth, Francis, Saint-Georges D'Oques, FRANCE

Bichon, Daniel, Montpellier, FRANCE

Bolkenius, Frank, Kehl, GERMANY, FEDERAL REPUBLIC OF

Van Dorsselaer, Viviane, Strasbourg, FRANCE

	NUMBER	KIND	DATE	
•				
PATENT INFORMATION:	US 2003203893	A1	20031030	
APPLICATION INFO.:	US 2003-343467	A1	20030130	(10)
	WO 2001-FR2556		20010806	

NUMBER PRIORITY INFORMATION: FR 2000-10419 20000808 FR 2000-14696 20001115

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

SANOFI-SYNTHELABO INC., 9 GREAT VALLEY PARKWAY, P.O.

BOX 3026, MALVERN, PA, 19355

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

10 1

1837

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to benzimidazole derivatives of general formula AB

##STR1##

in which

X represents a nitrogen atom or a carbon atom;

and when X represents a nitrogen atom:

R3 represents a hydrogen atom or a C1-C4 alkyl group, or does not exist, to give the compounds of formula (I) comprising a secondary or tertiary amine;

R4 represents a hydrogen atom or a C1-C6 alkyl, C3-C7 cycloalkyl, optionally substituted C3-C7 heterocycloalkyl, -- (CH.sub.2).sub.pheteroaryl, heteroaryl-carbonyl, phenylcarbonyl, (C1-C6)alkylcarbonyl, --(CH.sub.2).sub.pCOOR, optionally substituted phenylsulphonyl or optionally substituted --(CH.sub.2).sub.p-phenyl group,

and, when X represents a carbon atom: p2 R3 represents a hydrogen atom or a group --NR5R6, --N(R5).sub.3.sup.+, --NHCOR7, --CONHR5, --COR7, --NHCONH.sub.2, --OH or --CH.sub.2OH,

R4 represents a hydrogen atom or an optionally substituted --(CH.sub.2).sub.p-phenyl, --(CH.sub.2).sub.p-heteroaryl or --(CH.sub.2).sub.tNR7R8 group.

Preparation process and therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 398457-80-2P, 5-Methyl-2-[4-(5-methylimidazol-4-yl)piperidin-1-yl]-4,5-dihydroimidazo[4,5,1-ij]quinolin-6-one 398457-81-3P, 1-[4-(5-Methylimidazol-4-yl)piperidin-1-yl]-8,9-dihydro-7H-2,9a-diazabenzo[cd]azulen-6-one

(drug candidate; prepn. of fused benzimidazole derivs. as PARP inhibitors)

RN 398457-80-2 USPATFULL

CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 398457-81-3 USPATFULL

CN Imidazo[4,5,1-jk][1]benzazepin-7(4H)-one, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 38 USPATFULL on STN

ACCESSION NUMBER:

2002:149314 USPATFULL

TITLE:

Heterocyclic amino substituted heteroaryl fused

09/669298 Page 171

pyridines; GABA brain receptor ligands INVENTOR(S):

Cai, Guolin, Guilford, CT, UNITED STATES

Liu, Gang, Agoura, CA, UNITED STATES

Chen, Guoquing, North Branford, CT, UNITED STATES

Albaugh, Pamela, Clinton, CT, UNITED STATES

PATENT ASSIGNEE(S): Neurogen (U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 2002077474 Α1 20020620 US 6423711 B2 20020723

APPLICATION INFO .: US 2000-736497 A1 20001213 (9)

RELATED APPLN. INFO.: Continuation of Ser. No. US 1999-259146, filed on 26

Feb 1999, GRANTED, Pat. No. US 6166203

NUMBER DATE

PRIORITY INFORMATION: US 1998-76099P 19980226 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Steven J. Sarussi, McDonnell Boehnen Hulbert &

Berghoff, 32nd Floor, 300 S. Wacker Drive, Chicago, IL,

60606

NUMBER OF CLAIMS: 20 EXEMPLARY CLAIM: 1 LINE COUNT: 1508

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are compounds of the formula

or the pharmaceutically acceptable non-toxic salts thereof

wherein:

n is an integer from 0 to 3;

the C ring is aryl or heteroaryl;

X is CH, N, or O

Z represents an electron pair, hydrogen, or (un) substituted heterocycle, aryl, or amido;

W is (un) substituted alkyl, aryl, or heteroaryl;

A and B are hydrogen or lower alkyl,

which compounds are highly selective agonists, antagonists or inverse agonists for GABAa brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAa brain receptors. These compounds are useful in the diagnosis and treatment of anxiety, Down Syndrome, sleep, cognitive and seizure disorders, and overdose with benzodiazepine drugs and for enhancement of alertness.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

239799-72-5P 239799-74-7P 239799-75-8P

(prepn. of 1-(5-arylthieno[3,2-b]pyridin-7-yl)piperidine-4-carboxamides and analogs as GABAA receptor ligands)

RN 239799-72-5 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-imidazol-2-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

RN 239799-74-7 USPATFULL
CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-75-8 USPATFULL
CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L10 ANSWER 30 OF 38 USPATFULL on STN

ACCESSION NUMBER:

2002:224619 USPATFULL

TITLE:

4-phenyl-4-heteroarylpiperidine derivatives Liras, Spiros, Stonington, CT, United States

INVENTOR(S):

McHardy, Stanton F., Coventry, RI, United States

DATE

Pfizer Inc, New York, NY, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE

PATENT INFORMATION:

US 6444679 20020903 В1 20000214

APPLICATION INFO.:

US 2000-503679

NUMBER

PRIORITY INFORMATION:

US 1999-121156P 19990222 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

GRANTED

PRIMARY EXAMINER:

Shah, Mukund J.

ASSISTANT EXAMINER:

Truong, Tamthom N.

LEGAL REPRESENTATIVE:

Richardson, Peter C., Ginsburg, Paul H., Jacobs, Seth

(9)

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

9 1

NUMBER OF DRAWINGS:

0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT:

1963

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to compounds of the formula I,

wherein Z.sup.1, X, Y, ().sub.n, R.sub.1, R.sup.2 and R.sup.3 are defined as in the specification, pharmaceutical compositions containing such compounds; and the use of such compounds to treat neurological and gastrointestinal disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

291753-96-3P 291753-97-4P 291753-99-6P

291754-01-3P 291754-03-5P 291754-38-6P

291754-39-7P 291754-40-0P 291754-41-1P

(prepn. of phenylheteroarylpiperidines as ligands for opioid receptors and drugs)

RN 291753-96-3 USPATFULL

5-Pyrimidinecarboxamide, N, N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-CN hydroxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291753-97-4 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291753-99-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-01-3 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-hydroxyphenyl)-1-pyrazinyl-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-03-5 USPATFULL

CN 5-Pyrimidinecarboxamide, 2-[1-(3,6-dimethylpyrazinyl)-4-(3-hydroxyphenyl)-4-piperidinyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

RN 291754-38-6 USPATFULL

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[1-(5-fluoro-2-pyrimidinyl)-4-(3-methoxyphenyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-39-7 USPATFULL

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[4-(3-methoxyphenyl)-1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-40-0 USPATFULL

CN 5-Pyrimidinecarboxamide, N,N-diethyl-2-[4-(3-methoxyphenyl)-1-[4-(trifluoromethyl)-2-pyrimidinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 291754-41-1 USPATFULL

CN 5-Pyrimidinecarboxamide, N, N-diethyl-2-[4-(3-methoxyphenyl)-1-pyrazinyl-4piperidinyl] - (9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 38 USPATFULL on STN

ACCESSION NUMBER:

TITLE:

2002:181689 USPATFULL

2,4-Substituted imidazolidine derivatives, their preparation, their use and pharmaceutical preparations

comprising them

INVENTOR(S): Wehner, Volkmar, Sandberg, GERMANY, FEDERAL REPUBLIC OF

Stilz, Hans Ulrich, Frankfurt, GERMANY, FEDERAL

REPUBLIC OF

Schmidt, Wolfgang, Frankfurt, GERMANY, FEDERAL REPUBLIC

Seiffge, Dirk, Mainz-Kostheim, GERMANY, FEDERAL

REPUBLIC OF

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Frankfurt am Main,

GERMANY, FEDERAL REPUBLIC OF (non-U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 6423712 20020723 APPLICATION INFO.: US 1998-157241 19980918 (9)

NUMBER DATE

DE 1997-19741235 19970918

PRIORITY INFORMATION: DOCUMENT TYPE:

Utility GRANTED

FILE SEGMENT: PRIMARY EXAMINER:

Higel, Floyd D.

LEGAL REPRESENTATIVE:

Heller Ehrman White and McAuliffe LLP

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

17 1

NUMBER OF DRAWINGS:

0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT:

3260

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to imidazolidine compounds of the formula I, ##STR1##

The compounds of the formula I are valuable pharmaceutical active compounds, which are suitable, for example, for the therapy and prophylaxis of inflammatory disorders, for example of rheumatoid arthritis, or of allergic disorders. The compounds of the formula I are inhibitors of the adhesion and migration of leucocytes and/or antagonists of the adhesion receptor VLA-4 belonging to the integrins group. They are generally suitable for the therapy or prophylaxis of illnesses which are caused by an undesired extent of leucocyte adhesion and/or leucocyte migration or are associated therewith, or in which cell-cell or cell-matrix interactions which are based on interactions of VLA-4 receptors with their ligands play a part. The invention furthermore relates to processes for the preparation of the compounds of the formula I, their use in the therapy and prophylaxis of the disease states mentioned and pharmaceutical preparations which contain compounds of the formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 239799-72-5P 239799-74-7P 239799-75-8P

(prepn. of 1-(5-arylthieno[3,2-b]pyridin-7-yl)piperidine-4-carboxamides and analogs as GABAA receptor ligands)

RN 239799-72-5 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-imidazol-2-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-74-7 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-75-8 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

L10 ANSWER 32 OF 38 USPATFULL on STN

ACCESSION NUMBER:

2001:168140 USPATFULL

TITLE:

Aryl and heteroaryl substituted pyridino derivatives

GABA brain receptor ligands

INVENTOR(S):

Cai, Guolin, Guilford, CT, United States Liu, Gang, Agoura, CA, United States Albaugh, Pamela A., Clinton, CT, United States

PATENT ASSIGNEE(S):

Neurogen Corporation, Branford, CT, United States (U.S.

corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION: APPLICATION INFO.:	US 6297256 US 2000-596031	В1	20011002 20000615	(9)
	NUMBER	DA	TE 	

PRIORITY INFORMATION: DOCUMENT TYPE:

FILE SEGMENT: GRANTED

US 1999-139202P 19990615 (60) Utility

PRIMARY EXAMINER:

Davis, Zinna Northington

LEGAL REPRESENTATIVE:

McDonnell Boehnen Hulbert & Berghoff

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

22 1

LINE COUNT:

1011

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are aryl and heteroaryl substituted pyridino compounds. These compounds are highly selective agonists, antagonists or inverse agonists for GABA.sub.A brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABA.sub.A brain receptors and are therefore useful in the diagnosis and treatment of anxiety, depression, Down Syndrome, sleep and seizure disorders, overdose with benzodiazepine drugs and for enhancement of memory. Pharmaceutical compositions, including packaged pharmaceutical compositions, are further provided. Compounds of the invention are also useful as probes for the localization of GABA.sub.A receptors in tissue samples.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 239799-72-5P 239799-74-7P 239799-75-8P

(prepn. of aryl and heteroaryl substituted thienopyridines and quinolines as GABA brain receptor ligands)

RN 239799-72-5 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-imidazol-2-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-74-7 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN

239799-75-8 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L10 ANSWER 33 OF 38 USPATFULL on STN

ACCESSION NUMBER:

INVENTOR(S):

2000:174829 USPATFULL

TITLE:

Heterocyclic amino substituted heteroaryl fused

pyridines; GABA brain receptor ligands Cai, Guolin, Guilford, CT, United States

Liu, Gang, Agoura, CA, United States Chen, Guoquing, North Branford, CT, United States

Albaugh, Pamela A., Clinton, CT, United States

PATENT ASSIGNEE(S): Neurogen Corcorporation)

Neurogen Corporation, Branford, CT, United States (U.S.

NUMBER KIND DATE 20001226 PATENT INFORMATION: US 6166203 APPLICATION INFO.: US 1999-259146 19990226 (9) DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Higel, Floyd D. LEGAL REPRESENTATIVE: McDonnell Boehnen Hulbert & Berghoff NUMBER OF CLAIMS: 18 EXEMPLARY CLAIM: 1 LINE COUNT: 1455

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Disclosed are compounds of the formula ##STR1## or the pharmaceutically acceptable non-toxic salts thereof wherein: n is an integer from 0 to 3;

the C ring is aryl or heteroaryl;

X is CH, N, or O

Z represents an electron pair, hydrogen, or (un) substituted heterocycle, aryl, or amido;

W is (un) substituted alkyl, aryl, or heteroaryl;

A and B are hydrogen or lower alkyl,

which compounds are highly selective agonists, antagonists or inverse

agonists for GABAa brain receptors or prodrugs of agonists, antagonists or inverse agonists for GABAa brain receptors. These compounds are useful in the diagnosis and treatment of anxiety, Down Syndrome, sleep, cognitive and seizure disorders, and overdose with benzodiazepine drugs and for enhancement of alertness.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 239799-72-5P 239799-74-7P 239799-75-8P

(prepn. of 1-(5-arylthieno[3,2-b]pyridin-7-yl)piperidine-4-carboxamides and analogs as GABAA receptor ligands)

RN 239799-72-5 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-imidazol-2-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-74-7 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 239799-75-8 USPATFULL

CN Thieno[3,2-b]pyridine, 5-(4-fluorophenyl)-7-[4-(1H-1,2,4-triazol-3-yl)-1-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HC1

L10 ANSWER 34 OF 38 USPATFULL on STN

ACCESSION NUMBER:

1999:146577 USPATFULL

TITLE:

Angiogenesis inhibiting pyridazinamines

INVENTOR(S):

Stokbroekx, Raymond Antoine, Beerse, Belgium Van der Aa, Marcel Jozef Maria, Turnhout, Belgium

Willems, Marc, Vosselaar, Belgium

Meerpoel, Lieven, Merksplas, Belgium

Luyckx, Marcel Gerebernus Maria, Geel, Belgium Tuman, Robert, Spring House, PA, United States Janssen Pharmaceuticals, N.V., Beerse, Belgium

PATENT ASSIGNEE(S):

(non-U.S. corporation)

NUMBER KIND DATE US 5985878 19991116

PATENT INFORMATION: APPLICATION INFO.:

US 1998-119075 19980709 (9)

NUMBER DATE _____ 19960115

PRIORITY INFORMATION: DOCUMENT TYPE:

EP 1996-200085

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Ambrose, Michael G.

LEGAL REPRESENTATIVE:

Coletti, Ellen Ciambrone

NUMBER OF CLAIMS:

10

EXEMPLARY CLAIM:

LINE COUNT:

1252

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ This invention concerns compounds of formula the N-oxide forms, the pharmaceutically acceptable acid addition salts and stereochemically isomeric forms thereof, wherein X is CH or N; m is 2 or 3 and n is 1, 2or 3; wherein 1 or 2 C-atoms of the CH.sub.2 groups of the ##STR1## moiety which may also contain one double bond, may be substituted with C.sub.1-6 alkyl, amino, aminocarbonyl, mono- or di(C.sub.1-6 alkyl)amino, C.sub.1-6 alkyloxycarbony, C.sub.1-6 alkylcarbonylamino, hydroxy or C.sub.1-6 alkyloxy; and/or 2 C-atoms of said CH.sub.2 groups may be bridged with C.sub.2-4 alkanediyl; R.sup.1 is hydrogen, C.sub.1-6 alkyl, C.sub.1-6 alkyloxy, C.sub.1-6 alkylthio, amino, mono- or di(C.sub.1-6 alkyl)amino, Ar, ArNH--, C.sub.3-6 cycloalkyl, hydroxymethyl or benzyloxymethyl; R.sup.2 and R.sup.3 are hydrogen, or taken together may form a bivalent radical of formula

--CH.dbd.CH--CH.dbd.CH--; in case X represents CH then L is a radical L.sup.1, L.sup.2 or L.sup.3; or in case X represents N then L is a radical L.sup.2 or L.sup.3; L.sup.1 is Ar-C.sub.1-6 alkyloxy, Ar-oxy, Ar-thio, Ar-carbonylamino, di-Ar-methyloxy-, N-Ar-piperazinyl, N-Ar-homopiperazinyl, 2-benzimidazolinonyl, Ar-NR.sup.4 --, Ar-Alk-NR.sup.4 --, Ar-NR.sup.4 --, Ar-NR.sup.4 --, Ar-CH.dbd.CH--CH.sub.2 --, naphtalenyl or Het; L.sup.3 is C.sub.1-6 alkyl substituted with one or two radicals selected from Ar, Ar-oxy, or Ar-thio, further optionally substituted with cyano or hydroxy; 2,2-dimethyl-1,2,3,4-tetrahydro-naphtalenyl; 2,2-dimethyl-1H-2,3-dihydroindenyl;Ar-piperidinyl or Ar-NR.sup.4 -Alk-; R.sup.4 and R.sup.5 are each independently selected from hydrogen or C.sub.1-6 alkyl; Alk is C.sub.1-6 alkanediyl; their preparation, compositions containing them and their use as a medicine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 193956-99-9P

(prepn. of thiadiazolylpyrazinylamines as angiogenesis inhibitors)

RN 193956-99-9 USPATFULL

CN Quinazoline, 4-(1-methylethoxy)-2-[1-[6-(3-methyl-1,2,4-thiadiazol-5-yl)-3-pyridazinyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 35 OF 38 USPATFULL on STN

ACCESSION NUMBER: 96:120886 USPATFULL

TITLE: Imidazol-4-ylpiperidine derivatives, their preparation

and their application in therapeutics

INVENTOR(S): Jegham, Samir, Argenteuil, France

Defosse, G erard, Paris, France

Purcell, Thomas A., Montfort L'Amaury, France

Even, Luc, Paris, France

PATENT ASSIGNEE(S): Synthelabo, Le Plessis Robinson, France (non-U.S.

corporation)

NUMBER DATE

PRIORITY INFORMATION: FR 1993-11771 19931004

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Grumbling, Matthew V.

LEGAL REPRESENTATIVE: Jacobson, Price, Holman & Stern, PLLC

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 718

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A compound of formula (I): ##STR1## in which R.sub.1 represents a hydrogen atom or a straight or branched (C.sub.1 -C.sub.4)alkyl group;

and

A represents a 5,6-dihydro-4H-imidazo[4,5,1-ij]quinol-2-yl group, a 4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 4-methyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 4-phenyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 4-phenylmethyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 5-methyl-4,5-dihydroimidazo[1,5,4-de][1,4]benzoxazin-2-yl group, a 5,6-dihydro-4H-imidazo[1,5,4-de]quinoxalin-2-yl group, a 6-oxo-5,6-dihydro-4H-imidazo[4,5,1-ij]quinol-2-yl group, or a 5-methyl-4,5,6,7-tetrahydroimidazo[4,5,1-jk][1,4]benzodiazepin-2-yl group which may be unsubstituted or substituted in the 6-position by a phenylmethyl group;

or an addition salt thereof with a pharmaceutically acceptable acid.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 163120-16-9P 163120-26-1P 163120-32-9P

163120-34-1P 163120-36-3P 163120-38-5P

163120-40-9P 163120-42-1P 163120-44-3P

(prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor ligands)

RN 163120-16-9 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-26-1 USPATFULL

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-32-9 USPATFULL

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(lH-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-34-1 USPATFULL

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-36-3 USPATFULL

CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-38-5 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-40-9 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-42-1 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (S)- (9CI) (CA INDEX NAME)

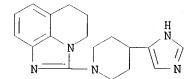
Absolute stereochemistry.

RN 163120-44-3 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
163120-06-7P 163120-07-8P 163120-08-9P
      163120-09-0P 163120-11-4P 163120-13-6P
      163120-15-8P 163120-17-0P 163120-19-2P
      163120-21-6P 163120-22-7P 163120-23-8P
      163120-25-0P 163120-27-2P 163120-29-4P
      163120-30-7P 163120-31-8P 163120-33-0P
      163120-35-2P 163120-37-4P 163120-39-6P
      163120-41-0P 163120-43-2P 163120-45-4P
      163120-46-5P 163120-47-6P
        (prepn. of imidazolylpiperidine derivs. as 5-HT3 and 5-HT4 receptor
        ligands)
RN
     163120-06-7 USPATFULL
     4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-imidazol-4-yl)
CN
       piperidinyl] - (9CI) (CA INDEX NAME)
```



RN 163120-07-8 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-08-9 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-5,6-dihydro- (9CI) (CA INDEX NAME)

RN 163120-09-0 USPATFULL

CN 4H-Imidazo[4,5,1-ij]quinoline, 5,6-dihydro-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-11-4 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-10-3 CMF C17 H19 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN163120-13-6 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM

CRN 163120-12-5 C18 H21 N5 O CMF

2 CM

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

163120-15-8 USPATFULL

RNCN piperidinyl]-4-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1 CRN 163120-14-7 CMF C18 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-17-0 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-16-9 CMF C19 H23 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

RN 163120-19-2 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-18-1 CMF C18 H21 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-21-6 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-5-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-20-5 CMF C19 H23 N5 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 163120-22-7 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-23-8 USPATFULL

CN

Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-25-0 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-(phenylmethyl)-, (4S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM · 1

CRN 163120-24-9 CMF C25 H27 N5 O CDES 1:S Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 163120-27-2 USPATFULL

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-26-1 CMF C17 H20 N6

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 163120-29-4 USPATFULL

CN 4H-Imidazo[1,5,4-de]quinoxaline, 5,6-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-28-3 CMF C18 H22 N6

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 163120-30-7 USPATFULL

CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-31-8 USPATFULL

CN 6H-Imidazo[4,5,1-ij]quinolin-6-one, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 163120-33-0 USPATFULL CN

Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-y1)-1-piperidinyl]-5-methyl-, (5S)-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM1

CRN 163120-32-9 CMF C19 H24 N6

CDES 1:S

Absolute stereochemistry.

CM

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

163120-35-2 USPATFULL RN

Imidazo[4,5,1-jk][1,4] benzodiazepine, 4,5,6,7-tetrahydro-5-methyl-2-[4-(5-CN methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (5S)-, (2E)-2-butenedioate(1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-34-1 CMF C20 H26 N6 CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 163120-37-4 USPATFULL
CN Imidazo[4,5,1-jk][1,4]benzodiazepine, 4,5,6,7-tetrahydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-methyl-6-(phenylmethyl)-, (5S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-36-3 CMF C26 H30 N6 CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-39-6 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-38-5 CMF C18 H21 N5 O CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-41-0 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-40-9 CMF C18 H21 N5 O CDES 1:R

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-43-2 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (4S)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-42-1 CMF C19 H23 N5 O CDES 1:S

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-45-4 USPATFULL
CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-4-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (4R)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 163120-44-3 CMF C19 H23 N5 O CDES 1:R

Absolute stereochemistry.

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 163120-46-5 USPATFULL

CN Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-5-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163120-47-6 USPATFULL

CN

Imidazo[1,5,4-de][1,4]benzoxazine, 4,5-dihydro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-4-phenyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 36 OF 38 USPATFULL on STN

ACCESSION NUMBER:

TITLE:

95:75971 USPATFULL

Quinazolines derivatives for enhancing antitumor

activity

Coe, Jotham W., Mystic, CT, United States INVENTOR(S):

Fliri, Anton F. J., Norwich, CT, United States Kaneko, Takushi, Guilford, CT, United States Larson, Eric R., Mystic, CT, United States Pfizer Inc., New York, NY, United States (U.S.

corporation)

KIND DATE NUMBER 19950822 US 5444062 PATENT INFORMATION: 19930505 (8) US 1993-50047 APPLICATION INFO.: 19911010 WO 1991-US7254 19930505 PCT 371 date 19930505 PCT 102(e) date

Continuation of Ser. No. US 1990-609986, filed on 6 Nov

RELATED APPLN. INFO.: 1990, now abandoned

DOCUMENT TYPE: Utility Granted FILE SEGMENT:

Shah, Mukund J. PRIMARY EXAMINER: Grumbling, Matthew V. ASSISTANT EXAMINER:

Richardson, Peter C.Benson Gregg C. LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 1512 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

2,4-Diaminoquinazoline derivatives as potentiators of chemotherapeutic AB

agents in the treatment of cancer.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 142716-75-4P

PATENT ASSIGNEE(S):

(prepn. of, as P-glycoprotein inhibitor)

142716-75-4 USPATFULL RN

Quinazoline, 2,4-bis[4-(2-benzoxazolyl)-1-piperidinyl]-6,7-dimethoxy-CN (9CI) (CA INDEX NAME)

L10 ANSWER 37 OF 38 USPATFULL on STN

95:45610 USPATFULL ACCESSION NUMBER:

Piperidine derivatives, their preparation and their TITLE:

application in therapeutics

Jegham, Samir, Argenteuil, France INVENTOR(S):

> Defosse, Gerard, Paris, France Purcell, Thomas, Montfort L'Amaury, France

Synthelabo, Le Plessis Robinson, France (non-U.S. PATENT ASSIGNEE(S):

corporation)

Liu

NUMBER KIND DATE US 5418241 19950523 PATENT INFORMATION: 19930927 (8) US 1993-127058 APPLICATION INFO.:

> NUMBER DATE 19920928 FR 1992-11550

PRIORITY INFORMATION: DOCUMENT TYPE:

Utility Granted Chang, Celia

PRIMARY EXAMINER: LEGAL REPRESENTATIVE:

FILE SEGMENT:

Jacobson, Price, Holman & Stern

NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT:

1 516

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention provides a compound which is a piperidine derivative of formula (I) ##STR1## in which R.sub.1 is hydrogen or straight or branched (C.sub.1 -C.sub.6) alkyl, R.sub.2 is hydrogen or straight or branched (C.sub.1 -C.sub.8) alkyl, Z and Z.sub.1 which may be the same or different, each is hydrogen, chlorine, hydroxyl, amino, nitro, hydroxymethyl, (C.sub.1 -C.sub.2) alkyl, (C.sub.1 -C.sub.8) alkoxy straight or branched (C.sub.1 -C.sub.5) alkoxycarbonyl or aryl (C.sub.1 -C.sub.2) alkoxy, Z is in position 4, 6 or 7 and Z and Z.sub.1 cannot both be hydrogen, or its addition salt with a pharmaceutically acceptable acid and its therapeutic application.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 155596-41-1P 155596-42-2P 155596-43-3P

155596-45-5P 155596-47-7P 155596-49-9P

155596-50-2P 155596-51-3P 155596-53-5P

155596-54-6P 155596-55-7P 155596-57-9P

155596-59-1P 155596-60-4P 155596-61-5P

155596-62-6P 155596-64-8P 155596-66-0P

155596-67-1P 155596-68-2P

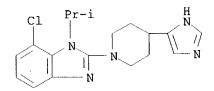
(prepn. of, as serotoninergic receptor antagonist)

155596-41-1 USPATFULL RN

1H-Benzimidazole, 7-chloro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-CN methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-40-0 CMF C18 H22 C1 N5



CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

RN 155596-42-2 USPATFULL

CN 1H-Benzimidazol-7-ol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-43-3 USPATFULL

CN 1H-Benzimidazol-4-o1, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 155596-45-5 USPATFULL

CN 1H-Benzimidazole-7-methanol, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 3

CRN 155596-44-4

CMF C19 H25 N5 O

CM 2

CRN 110-17-8

CMF C4 H4 O4

CDES 2:E

RN 155596-47-7 USPATFULL

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-7-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 155596-46-6 CMF C19 H25 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-49-9 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methyl-1-(1-methylethyl)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-48-8 CMF C19 H25 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

155596-50-2 USPATFULL RN

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-4-methoxy-1-(1-CN methylethyl) - (9CI) (CA INDEX NAME)

RN155596-51-3 USPATFULL

 $1 \\ H-Benzimidazole, 2-[4-(1\\ H-imidazol-4-yl)-1-piperidinyl]-7-methoxy-1-(1-met$ CN methylethyl) - (9CI) (CA INDEX NAME)

155596-53-5 USPATFULL RN

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-CN7-(octyloxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM1

CRN 155596-52-4 CMF C26 H39 N5 O

CM2

CRN 110-16-7 CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

155596-54-6 USPATFULL RN

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)- (9CI) (CA INDEX NAME)

RN 155596-55-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-7-(phenylmethoxy)-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-54-6 CMF C25 H29 N5 O

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 155596-57-9 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-, ethyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-56-8 CMF C21 H27 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4

CDES 2:E

Double bond geometry as shown.

RN 155596-59-1 USPATFULL

CN 1H-Benzimidazole, 7-chloro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-58-0 CMF C19 H24 C1 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-60-4 USPATFULL

CN 1H-Benzimidazole, 4-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-61-5 USPATFULL

CN 1H-Benzimidazole, 7-methoxy-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 155596-62-6 USPATFULL

CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-7-(octyloxy)- (9CI) (CA INDEX NAME)

RN 155596-64-8 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, 3-methylbutyl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-63-7 CMF C25 H35 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-66-0 USPATFULL

CN 1H-Benzimidazole-7-carboxylic acid, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, phenylmethyl ester, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 155596-65-9 CMF C27 H31 N5 O2

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 155596-67-1 USPATFULL

CN 1H-Benzimidazole, 5-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-6-nitro-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O_2N & H & M\\ N & N & M\\ \end{array}$$

RN 155596-68-2 USPATFULL

CN 1H-Benzimidazol-5-amine, 6-chloro-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L10 ANSWER 38 OF 38 USPATFULL on STN

ACCESSION NUMBER:

94:5884 USPATFULL

TITLE:

Piperidine derivatives, their preparation and their

therapeutic application

INVENTOR(S):

Jegham, Samir, Franconville, France

DeFosse, Gerard, Paris, France

Purcell, Thomas, Montfort-l'Amaury, France Schoemaker, Johannes, Gif-sur-Yvettte, France Synthelabo, Le Plessis-Robinson, France (non-U.S.

PATENT ASSIGNEE(S):

corporation)

KIND DATE NUMBER PATENT INFORMATION: US 5280030 19940118 US 1992-862376 19920402 (7) APPLICATION INFO.:

NUMBER DATE

PRIORITY INFORMATION:

FR 1991-4009 19910403

DOCUMENT TYPE:

Utility

FILE SEGMENT:

Granted

PRIMARY EXAMINER:

Ivy, C. Warren

ASSISTANT EXAMINER:

Chang, Celia

LEGAL REPRESENTATIVE:

Wegner, Cantor, Mueller & Player

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

1

600

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A compound which is a piperidine derivative of general formula (I) AB ##STR1## in which R.sub.1 represents a hydrogen atom, a linear or branched (C.sub.1-6)alkyl group or a cyclo(C.sub.3-8)alkyl group, X represents an oxygen atom, a sulphur atom or a group of general formula N--R.sub.3 in which R.sub.3 is a hydrogen atom, or a linear or branched (C.sub.1-8) alkyl, cyclo(C.sub.3-6) alkyl, cyclo(C.sub.3-6) alkylmethyl, (C.sub.1-4)alkoxy-(C.sub.1-4)alkyl, phenyl, pyridin-4-yl, pyridin-3-yl, pyridin-4-ylmethyl or pyridin-3-ylmethyl group and Z represents a hydrogen or fluorine atom and acid addition salts thereof with pharmaceutically acceptable acids, can be used for the treatment and prevention of disorders in which 5-HT receptors are involved.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 146365-53-9P 146365-54-0P 146365-56-2P

146365-58-4P 146365-60-8P 146365-61-9P

146365-62-0P 146365-64-2P 146365-65-3P

146365-66-4P 146365-67-5P 146365-69-7P

146365-71-1P 146365-72-2P 146365-74-4P

146365-75-5P 146365-77-7P 146365-79-9P

146365-80-2P 146365-82-4P 146365-83-5P

146365-85-7P 146365-86-8P 146365-88-0P
146365-90-4P 146365-91-5P 146365-92-6P
146365-93-7P 146365-95-9P 146365-96-0P
146365-97-1P 146365-98-2P 146365-99-3P
146395-69-9P
(prepn. of, as 5-HT receptor ligand)
RN 146365-53-9 USPATFULL
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-54-0 USPATFULL CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)-(9CI) (CA INDEX NAME)

RN 146365-56-2 USPATFULL CN Benzothiazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-55-1 CMF C16 H18 N4 S

$$\begin{array}{c|c} & & & H \\ N & & N \\ S & & Me \\ \end{array}$$

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

RN 146365-58-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-57-3 CMF C21 H21 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

$$_{\rm HO_2C}$$
 $^{\rm E}$ $_{\rm CO_2H}$

RN 146365-60-8 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-59-5 CMF C23 H33 N5

$$(CH_2)_7 - Me \qquad \qquad H \\ N \qquad \qquad N \qquad \qquad N$$

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E Double bond geometry as shown.

RN 146365-61-9 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-methyl- (9CI) (CA INDEX NAME)

146365-62-0 USPATFULL RN

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(1H-imidazol-4-yl)-1piperidinyl] - (9CI) (CA INDEX NAME)

146365-64-2 USPATFULL RN

1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, CN (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM

1

CRN 146365-63-1

CMF C18 H23 N5

2 CM

110-17-8 CRN

CMF C4 H4 O4

CDES 2:E

RN 146365-65-3 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 146365-66-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-67-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} N & M & M \\ N & N \\ N & CH_2 \\ \end{array}$$

RN 146365-69-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methoxyethyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-68-6 CMF C18 H23 N5 O

$$\begin{array}{c|c} \text{MeO-CH}_2\text{-CH}_2 & \text{H} \\ \hline \\ N & N \\ \end{array}$$

CM 2

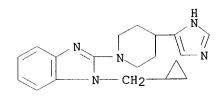
CRN 144-62-7 CMF C2 H2 O4

RN 146365-71-1 USPATFULL

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-70-0 CMF C19 H23 N5



CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-72-2 USPATFULL

CN 1H-Benzimidazole, 5-fluoro-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-74-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-phenyl-, (2E)-2-butenedioate (2:3) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-73-3 CMF C22 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-75-5 USPATFULL

CN 1H-Benzimidazole, 1-(cyclopropylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-77-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-propyl-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-76-6

CMF C19 H25 N5

CM 2

CRN 110-16-7 CMF C4 H4 O4

CDES 2:Z

Double bond geometry as shown.

RN 146365-79-9 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(2-methylpropyl)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-78-8 CMF C20 H27 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-80-2 USPATFULL

CN 1H-Benzimidazole, 1-(cyclohexylmethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-82-4 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-octyl-, (2E)-2-butenedioate (2:5) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-81-3 CMF C24 H35 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-83-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(3-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-85-7 USPATFULL

CN 1H-Benzimidazole, 1-(2-methoxyethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-84-6 CMF C19 H25 N5 O

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-86-8 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

RN 146365-88-0 USPATFULL

CN 1H-Benzimidazole, 1-methyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, ethanedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-87-9 CMF C17 H21 N5

CM 2

CRN 144-62-7 CMF C2 H2 O4

RN 146365-90-4 USPATFULL

CN Benzothiazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-89-1 CMF C15 H16 N4 S

CM 2

CRN 110-16-7 CMF C4 H4 O4 CDES 2:Z

Double bond geometry as shown.

RN 146365-91-5 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 146365-92-6 USPATFULL

CN Benzoxazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX

NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ &$$

RN 146365-93-7 USPATFULL

CN 1H-Benzimidazole, 2-[4-(1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-95-9 USPATFULL

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 146365-94-8 CMF C19 H23 N5

CM 2

CRN 110-17-8 CMF C4 H4 O4 CDES 2:E

Double bond geometry as shown.

RN 146365-96-0 USPATFULL

CN 1H-Benzimidazole, 1-cyclopropyl-2-[4-(1H-imidazol-4-yl)-1-piperidinyl]-(9CI) (CA INDEX NAME)

RN 146365-97-1 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-ethyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146365-98-2 USPATFULL

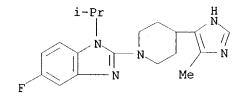
CN 1H-Benzimidazole, 1-(1-methylethyl)-2-[4-[5-(1-methylethyl)-1H-imidazol-4-yl]-1-piperidinyl]- (9CI) (CA INDEX NAME)

RN 146365-99-3 USPATFULL

CN 1H-Benzimidazole, 2-[4-(5-cyclohexyl-1H-imidazol-4-yl)-1-piperidinyl]-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 146395-69-9 USPATFULL

CN 1H-Benzimidazole, 5-fluoro-1-(1-methylethyl)-2-[4-(5-methyl-1H-imidazol-4-yl)-1-piperidinyl]- (9CI) (CA INDEX NAME)



FILE 'CAOLD' ENTERED AT 12:34:19 ON 05 MAR 2004 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

L1		STR				
L2	584	SEA	FILE=REGISTRY SSS FUL L1			
L3		STR				
L4		STR				
L6	390	SEA	FILE=REGISTRY SUB=L2 SSS FUL ((L3	OR	L4)
L9	0	SEA	FILE=CAOLD ABB=ON L6			

FILE 'HOME' ENTERED AT 12:34:19 ON 05 MAR 2004